

## EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	246	536/27.1	US-PGPUB; USPAT; EPO; JPO; DERWENT	OR	OFF	2007/04/23 10:42
L2	12	I1 and indolopyrrolocarbazole	US-PGPUB; USPAT; EPO; JPO; DERWENT	OR	OFF	2007/04/23 10:51
L3	914	536/18.7	US-PGPUB; USPAT; EPO; JPO; DERWENT	OR	OFF	2007/04/23 10:51
L4	9	I3 and indolopyrrolocarbazole	US-PGPUB; USPAT; EPO; JPO; DERWENT	OR	OFF	2007/04/23 10:52
L5	712	514/43	US-PGPUB; USPAT; EPO; JPO; DERWENT	OR	OFF	2007/04/23 10:52
L6	13	I5 and indolopyrrolocarbazole	US-PGPUB; USPAT; EPO; JPO; DERWENT	OR	OFF	2007/04/23 10:52

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NEWS 3 JAN 16 CA/CAplus Company Name Thesaurus enhanced and reloaded  
NEWS 4 JAN 16 IPC version 2007.01 thesaurus available on STN  
NEWS 5 JAN 16 WPIDS/WPINDEX/WPIX enhanced with IPC 8 reclassification data  
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NEWS 13 FEB 26 MEDLINE reloaded with enhancements  
NEWS 14 FEB 26 EMBASE enhanced with Clinical Trial Number field  
NEWS 15 FEB 26 TOXCENTER enhanced with reloaded MEDLINE  
NEWS 16 FEB 26 IFICDB/IFIPAT/IFIUDB reloaded with enhancements  
NEWS 17 FEB 26 CAS Registry Number crossover limit increased from 10,000 to 300,000 in multiple databases  
NEWS 18 MAR 15 WPIDS/WPIX enhanced with new FRAGHITSTR display format  
NEWS 19 MAR 16 CASREACT coverage extended  
NEWS 20 MAR 20 MARPAT now updated daily  
NEWS 21 MAR 22 LWPI reloaded  
NEWS 22 MAR 30 RDISCLOSURE reloaded with enhancements  
NEWS 23 MAR 30 INPADOCDB will replace INPADOC on STN  
NEWS 24 APR 02 JICST-EPLUS removed from database clusters and STN

NEWS EXPRESS NOVEMBER 10 CURRENT WINDOWS VERSION IS V8.01c, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 25 SEPTEMBER 2006.

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FILE 'USPAT2' ENTERED AT 11:26:03 ON 23 APR 2007  
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FILE 'WPIDS' ACCESS NOT AUTHORIZED

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FILE 'MEDLINE' ENTERED AT 11:26:03 ON 23 APR 2007

=> s indolopyrrolocarbazole  
18 FILES SEARCHED...  
L1 232 INDOLOPYRROLOCARBAZOLE

=> s l1 and cancer  
L2 95 L1 AND CANCER

=> s l1 and (pyridyl or furyl or thieryl)  
L3 42 L1 AND (PYRIDYL OR FURYL OR THIENYL)

=> l3 and (antitumor or anticancer)  
L3 IS NOT A RECOGNIZED COMMAND  
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"HELP COMMANDS" at an arrow prompt (>).

=> s l3 and (antitumor or anticancer)  
22 FILES SEARCHED...  
L4 27 L3 AND (ANTITUMOR OR ANTICANCER)

=> dis l4 1-27 bib abs

L4 ANSWER 1 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN  
AN 2005:99515 CAPLUS  
DN 142:177043  
TI Preparation of glucopyranosyl indolopyrrolocarbazole derivatives  
as antitumor agents  
IN Ohkubo, Mitsuru; Arakawa, Hiroharu  
PA Banyu Pharmaceutical Co., Ltd., Japan  
SO PCT Int. Appl., 29 pp.  
CODEN: PIXXD2  
DT Patent  
LA Japanese

## FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005010017	A1	20050203	WO 2003-JP9392	20030724
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU	2003248103	A1	20050214	AU 2003-248103	20030724
AU	2004259289	A1	20050203	AU 2004-259289	20040721
CA	2533384	A1	20050203	CA 2004-2533384	20040721
WO	2005010020	A1	20050203	WO 2004-JP10742	20040721
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP	1652854	A1	20060503	EP 2004-771003	20040721
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
CN	1826347	A	20060830	CN 2004-80021118	20040721
US	2006189800	A1	20060824	US 2006-565326	20060120
PRAI	JP 2003-9392	A	20030724		
	WO 2003-JP309392	A	20030724		
	WO 2003-JP9392	A	20030724		
	WO 2004-JP10742	W	20040721		
OS	CASREACT 142:177043; MARPAT 142:177043				
GI					

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

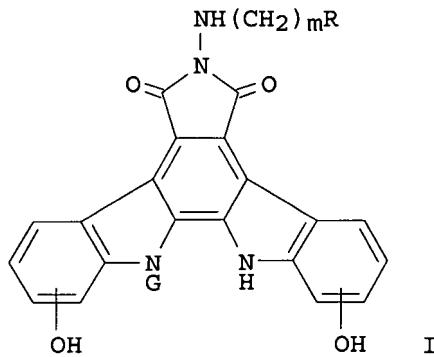
AB Title compds. I [R = unsubstituted pyridyl, furyl, thiienyl; m = 1-3; G =  $\beta$ -D-glucopyranosyl; hydroxy substituents on the indolopyrrolocarbazole ring are located in the 1- and 11-positions or the 2- and 10-positions] were prepared. For instance, condensation of compound II [X = NH<sub>2</sub>] with 4-pyridinecarbaldehyde followed by hydrogenation afforded compound II [X = NHCH<sub>2</sub>(4-pyridyl)]. In cell growth inhibition assays against MKN-45 cell, the IC<sub>50</sub> value of compound II [X = NHCH<sub>2</sub>(4-pyridyl)] was 71 nM. Compds. I are claimed useful for the treatment of lung cancer.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN  
AN 2004:191117 CAPLUS  
DN 140:236007  
TI Preparation of indolopyrrolocarbazole derivatives having glucopyranosyl group and antitumor agents containing them  
IN Kojiri, Katsuhisa; Kondo, Hisao; Arakawa, Hiroharu; Ohkubo, Mitsuru; Suda,

Hiroyuki  
 PA Banyu Pharmaceutical Co., Ltd., Japan  
 SO U.S., 17 pp.  
 CODEN: USXXAM  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6703373 WO 2004083228	B1 A1	20040309 20040930	US 2002-70825 WO 1999-JP4911	20020311 19990910
	W: US				
PRAI	WO 1999-JP4911	W	19990910		
OS	MARPAT 140:236007				
GI					



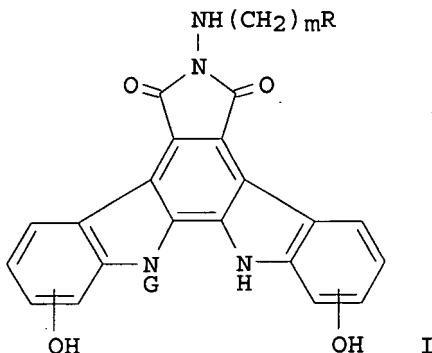
AB The derivs. I (R = Ph, naphthyl, pyridyl, furyl, thiienyl, which is substituted with 1-2 OH, lower alkoxy, lower hydroxyalkyl, or lower hydroxyalkenyl; if R has a lower alkoxy, then R is also has the other substituent; m = 1-3; G =  $\beta$ -D-glucopyranosyl; 2 OH groups are on the 1- and 11- or 2- and 10-positions of the indolopyrrolocarbazole ring) or their pharmaceutically acceptable salts are prepared. The antitumor agents contain I or the salts. 2,10-I [(CH<sub>2</sub>)<sub>m</sub>R = CH<sub>2</sub>C<sub>6</sub>H<sub>3</sub>(OH)<sub>2-3,5</sub>] (preparation given) inhibited growth of human gastric cancer MX-1 cells s.c. transplanted into nude mice. The cancer treated is gastric cancer, colon cancer, lung cancer or breast cancer. Growth inhibition activity on human gastric cancer cells, human colon cancer cells and human lung cancer cells.

RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN  
 AN 1998:600014 CAPLUS  
 DN 129:245410  
 TI Preparation of indolopyrrolocarbazole derivatives having glucopyranosyl group and antitumor agents containing them  
 IN Kojiri, Katsuhisa; Kondo, Hisao; Arakawa, Koji; Ookubo, Mitsuru; Suda, Hiroyuki  
 PA Banyu Pharmaceutical Co., Ltd., Japan  
 SO Jpn. Kokai Tokkyo Koho, 23 pp.  
 CODEN: JKXXAF  
 DT Patent  
 LA Japanese  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	JP 10245390	A	19980914	JP 1997-61875	19970228
	JP 3536574	B2	20040614		
	JP 2004099617	A	20040402	JP 2003-351296	20031009
PRAI	JP 1997-61875	A3	19970228		
OS	MARPAT 129:245410				
GI					



AB The derivs. I (R = Ph, naphthyl, pyridyl, furyl, thiienyl, which is substituted with 1-2 OH, lower alkoxy, lower hydroxyalkyl, or lower hydroxyalkenyl; if R has a lower alkoxy, then R is also has the other substituent; m = 1-3; G =  $\beta$ -D-glucopyranosyl; 2 OH groups are on the 1- and 11- or 2- and 10-positions of the indolopyrrolocarbazole ring) or their pharmaceutically acceptable salts are prepared. The antitumor agents contain I or the salts. 2,10-I [(CH<sub>2</sub>)<sub>m</sub>R = CH<sub>2</sub>C<sub>6</sub>H<sub>3</sub>(OH)<sub>2-3,5</sub>] (preparation given) inhibited growth of human gastric cancer MX-1 cells s.c. transplanted into nude mice.

L4 ANSWER 4 OF 27 IFIPAT COPYRIGHT 2007 IFI on STN  
 AN 11392962 IFIPAT; IFIUDB; IFICDB  
 TI NOVEL INDOLOPYRROLOCARBAZOLE DERIVATIVE WITH ANTITUMOR ACTIVITY  
 INF Arakawa; Hiroharu, Tokyo, JP  
     Hirose; Masaaki, Koutou-cho, JP  
     Ohkubo; Mitsuru, Ushiku-shi, JP  
     Sunami; Satoshi, Toride-shi, JP  
     Yamada; Koji, Tsuchiura-shi, JP  
 IN Arakawa Hiroharu (JP); Hirose Masaaki (JP); Ohkubo Mitsuru (JP); Sunami Satoshi (JP); Yamada Koji (JP)  
 PAF Unassigned  
 PA Unassigned Or Assigned To Individual (68000)  
 PPA MERCK AND CO INC (Probable)  
 AG MERCK AND CO., INC, P O BOX 2000, RAHWAY, NJ, 07065-0907, US  
 PI US 2007042975 A1 20070222  
 AI US 2004-571861 20040914  
     WO 2004-JP14661 20040914  
                         20060314 PCT 371 date  
                         20060314 PCT 102(e) date  
 PRAI JP 2003-322550 20030916  
 FI US 2007042975 20070222  
 DT Utility; Patent Application - First Publication  
 FS CHEMICAL  
     APPLICATION  
 ED Entered STN: 5 Mar 2007  
     Last Updated on STN: 20 Mar 2007

CLMN 8

AB The present invention relates to a novel indolopyrrolocarbazole derivative which is represented by the formula (I):

D R A W I N G

wherein: A represents O, NH, or CH<sub>2</sub>; R<sub>1</sub> represents a single bond, a lower alkyl group, a lower alkenyl group, a lower alkynyl group, etc.; R<sub>2</sub> represents a phenyl group, a naphthyl group, or a five- or six-membered aromatic or aliphatic heterocyclic ring having at least one atom selected from N, S, or O, wherein the phenyl group, naphthyl group, aromatic or aliphatic heterocyclic ring may be substituted; and G represents a hexose group or a pentose group, or a pharmaceutically acceptable salt thereof.

CLMN 8

L4 ANSWER 5 OF 27 IFIPAT COPYRIGHT 2007 IFI on STN  
AN 11240745 IFIPAT;IFIUDB;IFICDB  
TI INDOLOPYRROLOCARBAZOLE DERIVATIVE AND ANTITUMOR AGENT  
INF Arakawa; Hiroharu, Tsukuba-shi, JP  
Ohkubo; Mitsuru, Ushiku-shi, JP  
IN Arakawa Hiroharu (JP); Ohkubo Mitsuru (JP)  
PAF Unassigned  
PA Unassigned Or Assigned To Individual (68000)  
PPA Merck & Co Inc (Probable)  
AG MERCK AND CO., INC, P O BOX 2000, RAHWAY, NJ, 07065-0907, US  
PI US 2006189800 A1 20060824  
AI US 2004-565326 20040721  
WO 2004-JP10742 20040721  
20060120 PCT 371 date  
20060120 PCT 102(e) date  
PRAI WO 2003-JP9392 20030724  
FI US 2006189800 20060824  
DT Utility; Patent Application - First Publication  
FS CHEMICAL  
APPLICATION  
ED Entered STN: 25 Aug 2006  
Last Updated on STN: 25 Aug 2006  
CLMN 7  
AB The present invention relates to new indolopyrrolocarbazole derivatives of formula (I):

D R A W I N G

wherein R represents an unsubstituted pyridyl, furyl, or thienyl group; m represents an integer of 1 to 3; and G represents a beta-D-glucopyranosyl group; and the positions of substitution of the hydroxyl groups on the indolopyrrolocarbazole ring are the 1- and 11-positions, or the 2- and 10-positions.

CLMN 7

L4 ANSWER 6 OF 27 IFIPAT COPYRIGHT 2007 IFI on STN  
AN 10932304 IFIPAT;IFIUDB;IFICDB  
TI USE OF ANTITUMOR INDOLOPYRROLOCARBAZOLE DERIVATIVE AND OTHER ANTICANCER AGENT IN COMBINATION  
INF Arakawa; Hiroharu, Tsukuba-shi, JP  
Kodera; Tsutomu, Tsukuba-shi, JP  
Monden; Yoshiaki, Tokyo, JP  
Nakatsuru; Yoko, Tsukuba-shi, JP  
IN Arakawa Hiroharu (JP); Kodera Tsutomu (JP); Monden Yoshiaki (JP); Nakatsuru Yoko (JP)  
PAF BANYU PHARMACEUTICAL CO., LTD., Tokyo, JP  
PA Banyu Pharmaceutical Co Ltd JP (7576)  
AG SHERMAN & SHALLOWAY, 415 NORTH ALFRED STREET, ALEXANDRIA, VA, 22314, US  
PI US 2005171036 A1 20050804

AI US 2002-509061 20020930  
WO 2002-JP10186 20020930  
20020930 PCT 371 date  
20020930 PCT 102(e) date  
PRAI JP 2002-84677 20020326  
FI US 2005171036 20050804  
DT Utility; Patent Application - First Publication  
FS CHEMICAL  
APPLICATION  
ED Entered STN: 5 Aug 2005  
Last Updated on STN: 5 Aug 2005  
CLMN 35  
GI 1 Figure(s).  
FIG. 1 shows a synergistic effect exhibited by the combined use of compound A and cisplatin. FIG. 2 shows a synergistic effect exhibited by the combined use of compound A and carboplatin. FIG. 3 shows a significant inhibition of tumor growth by the effect exhibited by the combined use of compound A and 5-FU/ leucovorin.  
AB This invention relates to a combined preparation for simultaneous, separate, or sequential administration in the treatment of cancer, comprising two separate preparations: a preparation comprising, in combination with a pharmaceutically acceptable carrier or diluent, at least one compound of general formula I:

D R A W I N G

wherein R1 and R2 each independently represent a hydrogen atom, lower alkyl, or the like, and G represents pentosyl or the like, X1 and X2 each independently represent a hydrogen atom, a halogen atom, or the like or a pharmaceutically acceptable salt thereof; and a preparation, in combination with a pharmaceutically acceptable carrier or diluent, such as antitumor alkylating agents, antitumor antimetabolites, antitumor antibiotics, or plant-derived antitumor agents (a preparation comprising at least one compound of general formula I or a pharmaceutically acceptable salt thereof may be combined with two or more other antitumor agents), and a method for cancer treatment comprising the administration of these preparations in combination.

CLMN 35 1 Figure(s).  
FIG. 1 shows a synergistic effect exhibited by the combined use of compound A and cisplatin. FIG. 2 shows a synergistic effect exhibited by the combined use of compound A and carboplatin. FIG. 3 shows a significant inhibition of tumor growth by the effect exhibited by the combined use of compound A and 5-FU/ leucovorin.

L4 ANSWER 7 OF 27 IFIPAT COPYRIGHT 2007 IFI on STN  
AN 04031545 IFIPAT;IFIUDB;IFICDB  
TI INDOLOPYRROLOCARBAZOLE DERIVATIVES AND ANTITUMOR AGENTS; ANTICARCINOGENIC AGENTS  
INF Arakawa; Hiroharu, Tsukuba, JP  
Kojiri; Katsuhisa, Tokyo, JP  
Kondo; Hisao, Tsukuba, JP  
Ohkubo; Mitsuru, Tsukuba, JP  
Suda; Hiroyuki, Tokyo, JP  
IN Arakawa Hiroharu (JP); Kojiri Katsuhisa (JP); Kondo Hisao (JP); Ohkubo Mitsuru (JP); Suda Hiroyuki (JP)  
PAF Banyu Pharmaceutical Co., Ltd., Tokyo, JP  
PA Banyu Pharmaceutical Co Ltd JP (7576)  
EXNAM Wilson, James O  
EXNAM McIntosh, III, Traviss C  
AG Nixon & Vanderhye P.C.  
PI US 6703373 B1 20040309  
AI US 2002-70825 20020311  
WO 1999-JP4911 19990910

20020311 PCT 371 date  
20020311 PCT 102(e) date

XPD 10 Sep 2019  
FI US 6703373 20040309  
DT Utility; Granted Patent - Utility, no Pre-Grant Publication  
FS CHEMICAL  
GRANTED  
OS CA 140:244776  
ED Entered STN: 11 Mar 2004  
Last Updated on STN: 4 Oct 2004  
MRN 012942 MFN: 0360  
CLMN 12  
AB A compound represented by the formula or a pharmaceutically acceptable salt thereof

D R A W I N G

wherein R represents an unsubstituted pyridyl, furyl or thienyl group, or a pyridyl, furyl or thienyl group each of which has one or more substituents selected from the group consisting of a hydroxyl group, a lower alkoxy group, a hydroxy lower alkyl group and a hydroxy lower alkenyl group except that when the pyridyl, furyl or thienyl group has a lower alkoxy group as a substituent, each of which simultaneously has another substituent selected from the group consisting of a hydroxyl group, a lower alkoxy group, a hydroxy lower alkyl group and a hydroxy lower alkenyl group, m represents an integer of 1 to 3, and G represents a beta-D-glucopyranosyl group, and the positions of substitution of the hydroxyl groups on the indolopyrrolocarbazole ring are the 1- and 11-positions, or the 2- and 10-positions, and an antitumor agent containing it as an effective ingredient. The compounds have a better antitumor action than known compounds having a similar structure.

CLMN 12

L4 ANSWER 8 OF 27 IFIPAT COPYRIGHT 2007 IFI on STN  
AN 02801221 IFIPAT; IFIUDB; IFICDB  
TI INDOLOPYRROLOCARBAZOLE DERIVATIVES; ANTICARCINOGENIC AGENTS  
INF Arakawa, Hiroharu, Tsukuba, JP  
Kojiri, Katsuhisa, Tsukuba, JP  
Kondo, Hisao, Tsukuba, JP  
Ohkubo, Mitsuru, Tsukuba, JP  
Suda, Hiroyuki, Tsukuba, JP  
IN Arakawa Hiroharu (JP); Kojiri Katsuhisa (JP); Kondo Hisao (JP); Ohkubo Mitsuru (JP); Suda Hiroyuki (JP)  
PAF Banyu Pharmaceutical Co, Ltd, Tokyo, JP  
PA Banyu Pharmaceutical Co Ltd JP (7576)  
EXNAM Kight, John  
EXNAM Lee, Howard C  
AG Sherman and Shalloway  
PI US 5591842 A 19970107 (CITED IN 011 LATER PATENTS)  
AI US 1994-255980 19940608  
XPD 7 Jan 2014  
RLI US 1992-981070 19921124 CONTINUATION-IN-PART  
US 1993-68097 19930528 CONTINUATION-IN-PART ABANDONED  
US 1993-166364 19931214 CONTINUATION-IN-PART 5437996  
PRAI JP 1991-341916 19911129  
JP 1992-69269 19920218  
JP 1992-257306 19920901  
FI US 5591842 19970107  
US 5437996  
DT Utility  
FS CHEMICAL  
GRANTED  
OS CA 126:157762

ED      Entered STN: 14 Jan 1997  
Last Updated on STN: 6 Nov 1997  
MRN    007157    MFN: 0061  
CLMN    20  
AB      Indolopyrrocarbazole derivatives such as exemplified by the following compound,

D R A W I N G

have excellent antitumor activity as evidenced by in vitro proliferation inhibiting activity against mouse leukemia cell, human gastric cancer cell, human lung cancer cell and human colon cancer cell.

CLMN 20

L4      ANSWER 9 OF 27 USPATFULL on STN  
AN      2007:49149 USPATFULL  
TI      Novel indolopyrrolocarbazole derivative with antitumor activity  
IN      Yamada, Koji, Tsuchiura-shi, JAPAN  
        Sunami, Satoshi, Toride-shi, JAPAN  
        Hirose, Masaaki, Koutou-cho, JAPAN  
        Ohkubo, Mitsuru, Ushiku-shi, JAPAN  
        Arakawa, Hiroharu, Tokyo, JAPAN  
PI      US 2007042975    A1 20070222  
AI      US 2004-571861    A1 20040914 (10)  
        WO 2004-JP14661                        20040914  
    20060314 PCT 371 date  
PRAI     JP 2003-322550    20030916  
DT      Utility  
FS      APPLICATION  
LREP     MERCK AND CO., INC, P O BOX 2000, RAHWAY, NJ, 07065-0907, US  
CLMN    Number of Claims: 8  
ECL     Exemplary Claim: 1  
DRWN    No Drawings  
LN.CNT 1693  
CAS INDEXING IS AVAILABLE FOR THIS PATENT.  
AB      The present invention relates to a novel indolopyrrolocarbazole derivative which is represented by the formula [I]:    ##STR1##  
wherein:

A represents O, NH, or CH<sub>2</sub>;  
R<sub>1</sub> represents a single bond, a lower alkyl group, a lower alkenyl group, a lower alkynyl group, etc.;  
R<sub>2</sub> represents a phenyl group, a naphthyl group, or a five- or six-membered aromatic or aliphatic heterocyclic ring having at least one atom selected from N, S, or O, wherein the phenyl group, naphthyl group, aromatic or aliphatic heterocyclic ring may be substituted; and G represents a hexose group or a pentose group, or a pharmaceutically acceptable salt thereof.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L4      ANSWER 10 OF 27 USPATFULL on STN  
AN      2006:222513 USPATFULL  
TI      Indolopyrrolocabazole derivative and antitumor agent  
IN      Ohkubo, Mitsuru, Ushiku-shi, JAPAN  
        Arakawa, Hiroharu, Tsukuba-shi, JAPAN  
PI      US 2006189800    A1 20060824  
AI      US 2004-565326    A1 20040721 (10)  
        WO 2004-JP10742                        20040721  
    20060120 PCT 371 date  
PRAI     WO 2003-JP9392    20030724  
DT      Utility  
FS      APPLICATION

LREP MERCK AND CO., INC, P O BOX 2000, RAHWAY, NJ, 07065-0907, US  
CLMN Number of Claims: 7  
ECL Exemplary Claim: 1  
DRWN No Drawings  
LN.CNT 558

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to new indolopyrrolocarbazole derivatives of formula (I): ##STR1## wherein R represents an unsubstituted pyridyl, furyl, or thienyl group; m represents an integer of 1 to 3; and G represents a  $\beta$ -D-glucopyranosyl group; and the positions of substitution of the hydroxyl groups on the indolopyrrolocarbazole ring are the 1- and 11-positions, or the 2- and 10-positions.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L4 ANSWER 11 OF 27 USPATFULL on STN  
AN 2005:196893 USPATFULL  
TI Use of antitumor indolopyrrolocarbazole derivative and other anticancer agent in combination  
IN Arakawa, Hiroharu, Tsukuba-shi, JAPAN  
Monden, Yoshiaki, Tokyo, JAPAN  
Nakatsuru, Yoko, Tsukuba-shi, JAPAN  
Kodera, Tsutomu, Tsukuba-shi, JAPAN  
PA BANYU PHARMACEUTICAL CO., LTD., Tokyo, JAPAN (non-U.S. corporation)  
PI US 2005171036 A1 20050804  
AI US 2003-509061 A1 20020930 (10)  
WO 2002-JP10186 20020930  
PRAI JP 2002-84677 20020326  
DT Utility  
FS APPLICATION  
LREP SHERMAN & SHALLOWAY, 415 NORTH ALFRED STREET, ALEXANDRIA, VA, 22314, US  
CLMN Number of Claims: 35  
ECL Exemplary Claim: 1  
DRWN 3 Drawing Page(s)  
LN.CNT 1667

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB This invention relates to a combined preparation for simultaneous, separate, or sequential administration in the treatment of cancer, comprising two separate preparations: a preparation comprising, in combination with a pharmaceutically acceptable carrier or diluent, at least one compound of general formula I: ##STR1## wherein

R.<sup>1</sup> and R.<sup>2</sup> each independently represent a hydrogen atom, lower alkyl, or the like, and G represents pentosyl or the like, X.<sup>1</sup> and X.<sup>2</sup> each independently represent a hydrogen atom, a halogen atom, or the like or a pharmaceutically acceptable salt thereof; and a preparation, in combination with a pharmaceutically acceptable carrier or diluent, such as antitumor alkylating agents, antitumor antimetabolites, antitumor antibiotics, or plant-derived antitumor agents (a preparation comprising at least one compound of general formula I or a pharmaceutically acceptable salt thereof may be combined with two or more other antitumor agents), and a method for cancer treatment comprising the administration of these preparations in combination.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L4 ANSWER 12 OF 27 USPATFULL on STN  
AN 2004:315216 USPATFULL  
TI Process for the preparation of rebeccamycin and analogs thereof  
IN Wang, Jianji, Dayton, NJ, UNITED STATES  
PI US 2004248892 A1 20041209  
AI US 2004-489625 A1 20040721 (10)

PRAI WO 2002-US29374 20020913  
US 2001-318719P 20010913 (60)  
DT Utility  
FS APPLICATION  
LREP STEPHEN B. DAVIS, BRISTOL-MYERS SQUIBB COMPANY, PATENT DEPARTMENT, P O  
BOX 4000, PRINCETON, NJ, 08543-4000  
CLMN Number of Claims: 23  
ECL Exemplary Claim: 1  
DRWN No Drawings  
LN.CNT 1137

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to a method for making an indolopyrrolocarbazole compound of the general formula [I], where the method includes the step of reacting a bisindolylmaleimide compound with an oxidizing agent in the presence of an oxygen containing gas at a temperature and for a time sufficient to yield the indolopyrrolocarbazole compound of the general formula [I]. Methods of making rebeccamycin analogs using the indolopyrrolocarbazole compound are also provided. ##STR1##

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L4 ANSWER 13 OF 27 USPATFULL on STN  
AN 2004:59896 USPATFULL  
TI Indolopyrrolocarbazole derivatives and antitumor agents  
IN Kojiri, Katsuhisa, Tokyo, JAPAN  
Kondo, Hisao, Tsukuba, JAPAN  
Arakawa, Hiroharu, Tsukuba, JAPAN  
Ohkubo, Mitsuru, Tsukuba, JAPAN  
Suda, Hiroyuki, Tokyo, JAPAN  
PA Banyu Pharmaceutical Co., Ltd., Tokyo, JAPAN (non-U.S. corporation)  
PI US 6703373 B1 20040309  
AI US 2002-70825 20020311 (10)  
WO 1999-JP4911 19990910  
DT Utility  
FS GRANTED  
EXNAM Primary Examiner: Wilson, James O.; Assistant Examiner: McIntosh, III,  
Traviss C.  
LREP Nixon & Vanderhye P.C.  
CLMN Number of Claims: 12  
ECL Exemplary Claim: 1  
DRWN 0 Drawing Figure(s); 0 Drawing Page(s)  
LN.CNT 1105

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A compound represented by the formula or a pharmaceutically acceptable salt thereof ##STR1##

wherein R represents an unsubstituted pyridyl, furyl or thiienyl group, or a pyridyl, furyl or thiienyl group each of which has one or more substituents selected from the group consisting of a hydroxyl group, a lower alkoxy group, a hydroxy lower alkyl group and a hydroxy lower alkenyl group except that when the pyridyl, furyl or thiienyl group has a lower alkoxy group as a substituent, each of which simultaneously has another substituent selected from the group consisting of a hydroxyl group, a lower alkoxy group, a hydroxy lower alkyl group and a hydroxy lower alkenyl group, m represents an integer of 1 to 3, and G represents a  $\beta$ -D-glucopyranosyl group, and the positions of substitution of the hydroxyl groups on the indolopyrrolocarbazole ring are the 1- and 11-positions, or the 2- and 10-positions, and an antitumore agent containing it as an effective ingredient.

The compounds have a better antitumor action than known compounds having a similar structure.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L4 ANSWER 14 OF 27 USPATFULL on STN  
AN 2003:312782 USPATFULL  
TI ANHYDRO SUGAR DERIVATIVES OF INDOLOCARBAZOLES  
IN Saulnier, Mark G., Higganum, CT, UNITED STATES  
Ruediger, Edward H., Greenfield Park, CANADA  
Balasubramanian, Neelakantan, Madison, CT, UNITED STATES  
Frennesson, David Bertil, Naugatuck, CT, UNITED STATES  
Mahler, Mikael, Outremont, CANADA  
Zimmermann, Kurt, Durham, CT, UNITED STATES  
PA Bristol-Myers Squibb Company (U.S. corporation)  
PI US 2003220387 A1 20031127  
US 6686385 B2 20040203  
AI US 2003-431221 A1 20030507 (10)  
RLI Division of Ser. No. US 2001-965069, filed on 27 Sep 2001, GRANTED, Pat.  
No. US 6610727  
PRAI US 2000-238696P 20001006 (60)  
DT Utility  
FS APPLICATION  
LREP Bristol-Myers Squibb Company, Patent Department, PO Box 4000, Princeton,  
NJ, 08543-5000  
CLMN Number of Claims: 1  
ECL Exemplary Claim: 1  
DRWN No Drawings  
LN.CNT 1057

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention concerns novel sugar derivatives of indolocarbazoles and pharmaceutical formulations thereof which exhibit topoisomerase-I activity and are useful in inhibiting the proliferation of tumor cells.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L4 ANSWER 15 OF 27 USPATFULL on STN  
AN 2003:120787 USPATFULL  
TI Topoisomerase I selective cytotoxic sugar derivatives of indolopyrrolocarbazoles  
IN Ruediger, Edward H., Greenfield Park, CANADA  
Saulnier, Mark G., Higganum, CT, UNITED STATES  
Beaulieu, Francis, Laprairie, CANADA  
Bachand, Carol, Candiac, CANADA  
Balusubramanian, Neelakantan, Madison, CT, UNITED STATES  
Long, Byron Hepler, Doylestown, PA, UNITED STATES  
Frennesson, David B., Naugatuck, CT, UNITED STATES  
Zimmermann, Kurt, Durham, CT, UNITED STATES  
Naidu, B. Narasimhulu, Durham, CT, UNITED STATES  
Stoffan, Karen, Hartford, CT, UNITED STATES  
St. Laurent, Denis Robert, Newington, CT, UNITED STATES  
PI US 2003083271 A1 20030501  
US 6855698 B2 20050215  
AI US 2002-103908 A1 20020322 (10)  
PRAI US 2001-278043P 20010322 (60)  
DT Utility  
FS APPLICATION  
LREP STEPHEN B. DAVIS, BRISTOL-MYERS SQUIBB COMPANY, PATENT DEPARTMENT, P O  
BOX 4000, PRINCETON, NJ, 08543-4000  
CLMN Number of Claims: 42  
ECL Exemplary Claim: 1  
DRWN No Drawings  
LN.CNT 1215

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to fluoro sugar and other sugar derivatives of indolopyrrolocarbazoles, their salts and hydrates, which exhibit selective topoisomerase I (topo I) activity, are useful in inhibiting the proliferation of tumor cells and exhibit an antitumor effect, as well as processes for their preparation.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L4 ANSWER 16 OF 27 USPATFULL on STN  
AN 2002:206676 USPATFULL  
TI Anhydro sugar derivatives of indolocarbazoles  
IN Saulnier, Mark G., Higganum, CT, UNITED STATES  
Ruediger, Edward H., Greenfield Park, CANADA  
Balasubramanian, Neelakantan, Madison, CT, UNITED STATES  
Frennesson, David Bertil, Naugatuck, CT, UNITED STATES  
Mahler, Mikael, Outremont, CANADA  
Zimmermann, Kurt, Durham, CT, UNITED STATES  
PI US 2002111375 A1 20020815  
US 6610727 B2 20030826  
AI US 2001-965069 A1 20010927 (9)  
PRAI US 2000-238696P 20001006 (60)  
DT Utility  
FS APPLICATION  
LREP STEPHEN B. DAVIS, BRISTOL-MYERS SQUIBB COMPANY, PATENT DEPARTMENT, P O  
BOX 4000, PRINCETON, NJ, 08543-4000  
CLMN Number of Claims: 1  
ECL Exemplary Claim: 1  
DRWN No Drawings  
LN.CNT 1058

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention concerns novel sugar derivatives of indolocarbazoles and pharmaceutical formulations thereof which exhibit topoisomerase-I activity and are useful in inhibiting the proliferation of tumor cells.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L4 ANSWER 17 OF 27 USPATFULL on STN  
AN 97:84095 USPATFULL  
TI Indolopyrrolocarbazole derivatives  
IN Kojiri, Katsuhisa, Tsukuba, Japan  
Kondo, Hisao, Tsukuba, Japan  
Arakawa, Hiroharu, Tsukuba, Japan  
Ohkubo, Mitsuru, Tsukuba, Japan  
Suda, Hiroyuki, Tsukuba, Japan  
PA Banyu Pharmaceutical Co., Ltd., Tokyo, Japan (non-U.S. corporation)  
PI US 5668271 19970916  
AI US 1995-474659 19950607 (8)  
RLI Division of Ser. No. US 1994-255980, filed on 8 Jun 1994, now patented,  
Pat. No. US 5591842 which is a continuation-in-part of Ser. No. US  
1992-981070, filed on 24 Nov 1992  
PRAI JP 1991-341916 19911129  
JP 1992-69269 19920218  
JP 1992-257306 19920901  
DT Utility  
FS Granted  
EXNAM Primary Examiner: Kight, John; Assistant Examiner: Lee, Howard C.  
LREP Sherman and Shalloway  
CLMN Number of Claims: 3  
ECL Exemplary Claim: 1  
DRWN No Drawings  
LN.CNT 2577

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Indolopyrrocarbazole derivatives represented by formula (I) and the pharmaceutically acceptable salts thereof have excellent antitumor activity as evidenced by their in vitro proliferation inhibiting activity against mouse leukemia cell, human gastric cancer cell, human lung cancer cell and human colon cancer cell, ##STR1## wherein R.sup.1 and R.sup.2 independently represent, for example, a hydrogen atom or various hydrocarbon groups which may be substituted or heterocyclic groups which may also be substituted; or a group --Y--R.sup.3 where Y represents a carbonyl group, thiocarbonyl group or sulfonyl group and R.sup.3 represents a hydrogen atom or one of various aliphatic, cycloaliphatic, aryl, nitrogen-containing (e.g. amino, hydrazino, etc) or heterocyclic groups, which groups may be substituted by various substituents; or R.sup.1 and R.sup.2 may combine to represent a lower alkylidene group which may be substituted; or R.sup.1 and R.sup.2, together with the N-atom to which they are bonded form a heterocyclic group which may be substituted;

G represents a pentose or hexose group; and X.sup.1 and X.sup.2, independently, represent, for example, hydrogen, halogen, amino, hydroxyl, alkoxy, aryloxy, carboxyl, alkoxy carbonyl or alkyl. These compounds have improved water solubility as compared to rebeccamycin.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L4 ANSWER 18 OF 27 USPATFULL on STN  
AN 97:1561 USPATFULL  
TI Indolopyrrolocarbazole derivatives  
IN Kojiri, Katsuhisa, Tsukuba, Japan  
Kondo, Hisao, Tsukuba, Japan  
Arakawa, Hiroharu, Tsukuba, Japan  
Ohkubo, Mitsuru, Tsukuba, Japan  
Suda, Hiroyuki, Tsukuba, Japan  
PA Banyu Pharmaceutical Co., Ltd., Tokyo, Japan (non-U.S. corporation)  
PI US 5591842 19970107  
AI US 1994-255980 19940608 (8)  
RLI Continuation-in-part of Ser. No. US 1993-166364, filed on 14 Dec 1993, now patented, Pat. No. US 5437996 which is a continuation-in-part of Ser. No. US 1993-68097, filed on 28 May 1993, now abandoned which is a continuation-in-part of Ser. No. US 1992-981070, filed on 24 Nov 1992  
PRAI JP 1991-341916 19911129  
JP 1992-69269 19920218  
JP 1992-257306 19920901  
DT Utility  
FS Granted  
EXNAM Primary Examiner: Kight, John; Assistant Examiner: Lee, Howard C.  
LREP Sherman and Shalloway  
CLMN Number of Claims: 20  
ECL Exemplary Claim: 1  
DRWN No Drawings  
LN.CNT 2725  
CAS INDEXING IS AVAILABLE FOR THIS PATENT.  
AB Indolopyrrocarbazole derivatives such as exemplified by the following compound, ##STR1## have excellent antitumor activity as evidenced by in vitro proliferation inhibiting activity against mouse leukemia cell, human gastric cancer cell, human lung cancer cell and human colon cancer cell.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L4 ANSWER 19 OF 27 USPATFULL on STN  
AN 96:120777 USPATFULL  
TI Process for producing glycosylated indolopyrrolocarbazole derivatives by culturing certain microorganisms  
IN Kojiri, Katsuhisa, Tsukuba, Japan

Kondo, Hisao, Tsukuba, Japan  
Arakawa, Hiroharu, Tsukuba, Japan  
Ohkubo, Mitsuru, Tsukuba, Japan  
Suda, Hiroyuki, Tsukuba, Japan

PA Banyu Pharmaceutical Co., Ltd., Tokyo, Japan (non-U.S. corporation)

PI US 5589365 19961231

AI US 1995-381286 19950131 (8)

RLI Continuation of Ser. No. US 1993-68097, filed on 28 May 1993, now abandoned which is a continuation-in-part of Ser. No. US 1992-981070, filed on 24 Nov 1992

PRAI JP 1991-341916 19911129  
JP 1992-257306 19920109  
JP 1992-69269 19920218  
JP 1992-353623 19921214  
JP 1993-53035 19930218

DT Utility

FS Granted

EXNAM Primary Examiner: Marx, Irene

LREP Sherman and Shalloway

CLMN Number of Claims: 7

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 2232

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A compound of formula (VIII) ##STR1## is added to a culture media containing Microtetraspora sp. A34549 or Saccharothrix aerocolonigenes ATCC 39243. The compound is glycosylated to form an indolopyrrolocarbazole of formula (VII) ##STR2##

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L4 ANSWER 20 OF 27 USPAT2 on STN

AN 2003:312782 USPAT2

TI Anhydro sugar derivatives of indolocarbazoles

IN Saulnier, Mark G., Higganum, CT, United States  
Ruediger, Edward H., Greenfield Park, CANADA  
Balasubramanian, Neelakantan, Madison, CT, United States  
Frennesson, David Bertil, Naugatuck, CT, United States  
Mahler, Mikael, Outremont, CANADA  
Zimmermann, Kurt, Durham, CT, United States

PA Bristol-Myers Squibb Company, Princeton, NJ, United States (U.S. corporation)

PI US 6686385 B2 20040203

AI US 2003-431221 20030507 (10)

RLI Division of Ser. No. US 2001-965069, filed on 27 Sep 2001, now patented, Pat. No. US 6610727

PRAI US 2000-238696P 20001006 (60)

DT Utility

FS GRANTED

EXNAM Primary Examiner: McKane, Joseph K.; Assistant Examiner: Small, Andrea D.

LREP Makujina, Shah, Peist, Kenneth W.

CLMN Number of Claims: 24

ECL Exemplary Claim: 1

DRWN 0 Drawing Figure(s); 0 Drawing Page(s)

LN.CNT 1159

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention concerns novel sugar derivatives of indolocarbazoles and pharmaceutical formulations thereof which exhibit topoisomerase-I activity and are useful in inhibiting the proliferation of tumor cells.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L4 ANSWER 21 OF 27 USPAT2 on STN  
AN 2003:120787 USPAT2  
TI Topoisomerase I selective cytotoxic sugar derivatives of indolopyrrolocarbazoles  
IN Ruediger, Edward H., Greenfield Park, CANADA  
Saulnier, Mark G., Higganum, CT, United States  
Beaulieu, Francis, Laprairie, CANADA  
Bachand, Carol, Candioc, CANADA  
Balusubramanian, Neelakantan, Madison, CT, United States  
Long, Byron Hepler, Doylestown, PA, United States  
Frennesson, David B., Naugatuck, CT, United States  
Zimmermann, Kurt, Durham, CT, United States  
Naidu, B. Narasimhulu, Durham, CT, United States  
Stoffan, Karen, Hartford, CT, United States  
St. Laurent, Denis Robert, Newington, CT, United States  
PA Bristol-Myers Squibb Company, Princeton, NJ, United States (U.S. corporation)  
PI US 6855698 B2 20050215  
AI US 2002-103908 20020322 (10)  
PRAI US 2001-278043P 20010322 (60)  
DT Utility  
FS GRANTED  
EXNAM Primary Examiner: Lewis, Patrick T.  
LREP Peist, Kenneth W., Korsen, Elliott  
CLMN Number of Claims: 45  
ECL Exemplary Claim: 1  
DRWN 0 Drawing Figure(s); 0 Drawing Page(s)  
LN.CNT 1241  
CAS INDEXING IS AVAILABLE FOR THIS PATENT.  
AB The present invention relates to fluoro sugar and other sugar derivatives of indolopyrrolocarbazoles, their salts and hydrates, which exhibit selective topoisomerase I (topo I) activity, are useful in inhibiting the proliferation of tumor cells and exhibit an antitumor effect, as well as processes for their preparation.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L4 ANSWER 22 OF 27 USPAT2 on STN  
AN 2002:206676 USPAT2  
TI Anhydro sugar derivatives of indolocarbazoles  
IN Saulnier, Mark G., Higganum, CT, United States  
Ruediger, Edward H., Greenfield Park, CANADA  
Balasubramanian, Neelakantan, Madison, CT, United States  
Frennesson, David Bertil, Naugatuck, CT, United States  
Mahler, Mikael, Outremont, CANADA  
Zimmermann, Kurt, Durham, CT, United States  
PA Bristol-Myers Squibb Company, Princeton, NJ, United States (U.S. corporation)  
PI US 6610727 B2 20030826  
AI US 2001-965069 20010927 (9)  
PRAI US 2000-238696P 20001006 (60)  
DT Utility  
FS GRANTED  
EXNAM Primary Examiner: McKane, Joseph K.; Assistant Examiner: Small, Andrea D.  
LREP Makujina, Shah  
CLMN Number of Claims: 12  
ECL Exemplary Claim: 1  
DRWN 0 Drawing Figure(s); 0 Drawing Page(s)  
LN.CNT 1084  
CAS INDEXING IS AVAILABLE FOR THIS PATENT.  
AB The present invention concerns novel sugar derivatives of indolocarbazoles and pharmaceutical formulations thereof which exhibit topoisomerase-1 activity and are useful in inhibiting the proliferation

of tumor cells.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L4 ANSWER 23 OF 27 WPINDEX COPYRIGHT 2007 THE THOMSON CORP on STN  
AN 2005-253932 [26] WPINDEX  
DNC C2005-080447 [26]  
TI Novel indolopyrrolocarbazole derivatives are useful for treating cancers of neck, esophagus, thyroid, breast, stomach, rectum, ovary, penis, testis and skin, neuroblastoma, malignant melanoma and osteosarcoma  
DC B02  
IN ARAKAWA H; HIROSE M; OHKUBO M; SUNAMI S; YAMADA K  
PA (BANY-C) BANYU PHARM CO LTD; (ARAK-I) ARAKAWA H; (HIRO-I) HIROSE M; (OHKU-I) OHKUBO M; (SUNA-I) SUNAMI S; (YAMA-I) YAMADA K  
CYC 107  
PIA WO 2005026185 A1 20050324 (200526)\* JA 79[0]  
EP 1666485 A1 20060607 (200638) EN  
AU 2004272457 A1 20050324 (200674) EN  
JP 2005513990 X 20061116 (200675) JA 54  
CN 1852914 A 20061025 (200715) ZH  
US 20070042975 A1 20070222 (200717) EN  
ADT WO 2005026185 A1 WO 2004-JP14661 20040914; AU 2004272457 A1 AU 2004-272457 20040914; CN 1852914 A CN 2004-80026590 20040914; EP 1666485 A1 EP 2004-773605 20040914; EP 1666485 A1 WO 2004-JP14661 20040914; JP 2005513990 X WO 2004-JP14661 20040914; JP 2005513990 X JP 2005-513990 20040914; US 20070042975 A1 WO 2004-JP14661 20040914; US 20070042975 A1 US 2006-571861 20060314  
FDT EP 1666485 A1 Based on WO 2005026185 A; AU 2004272457 A1 Based on WO 2005026185 A; JP 2005513990 X Based on WO 2005026185 A  
PRAI JP 2003-322550 20030916  
AN 2005-253932 [26] WPINDEX  
AB WO 2005026185 A1 UPAB: 20060122

NOVELTY - Indolopyrrolocarbazole derivatives (I) are new.

DETAILED DESCRIPTION - Indolopyrrolocarbazole derivatives of formula (I) and their salts are new.

A = O, NH or CH<sub>2</sub>;

R1 = single bond, Y1-W' or lower alkyl, lower alkenyl and lower alkynyl optionally substituted with (beta);

Y1 = lower alkyl, lower alkenyl or 1,3-dioxanyl;

W' = single bond or O;

R2 = phenyl and naphthyl optionally substituted with (beta), 5-6 membered heterocyclic ring containing N, S or O optionally substituted with (alpha) or lower alkyl substituted with (beta);

G = pentanose or monosaccharide;

(alpha) = 5-6 membered heterocyclic ring containing N, S or O; and (beta) = hydroxyl, cyano, halogen, nitro, carboxyl, carbamoyl, formyl, lower alkanoyl, lower alkanoyl oxy, lower alkoxy, hydroxy lower alkoxy, lower alkoxy carbonyl, lower alkyl carbamoyl, dilower alkyl carbamoyl, carbamoyl oxy, lower alkyl carbamoyl oxy, dilower alkyl carbamoyl oxy, amino, lower alkyl amino, dilower alkyl amino, trilower alkyl amino, lower alkanoyl amino, aroyl amino, lower alkanoyl amidino, hydroximino, lower alkoxyimino, lower alkyl thio, lower alkyl sulfinyl, lower alkyl sulfonyl, lower alkyl sulfonyl amino or sulfamoyl.

INDEPENDENT CLAIMS are also included for the following:

(1) pharmaceutical composition, which contains (I) as an active ingredient together with a carrier or diluent; and

(2) an anticancer agent, which contains (I) and its salt as active ingredient together with carrier or diluent.

ACTIVITY - Cytostatic.

The cell growth inhibitory effect of compound of formula (4) with respect to MKN-45 human stomach cancer cells was evaluated. The IC<sub>50</sub> value of the compound was 0.0012 μM. (WO2005026185A1-002.skc)

MECHANISM OF ACTION - None given.

USE - For treating cancers e.g. of neck, esophagus, thyroid,

breast, stomach, rectum, ovary, penis, testis and skin, neuroblastoma, malignant melanoma and osteosarcoma.

ADVANTAGE - (I) has excellent antitumor activity.

L4 ANSWER 24 OF 27 WPINDEX COPYRIGHT 2007 THE THOMSON CORP on STN  
AN 2004-236611 [22] WPINDEX  
DNC C2004-092492 [22]  
TI New indolopyrrolocarbazole derivatives useful for treating cancer e.g. gastric cancer, colon cancer, lung cancer or breast cancer  
DC B02  
IN ARAKAWA H; KOJIRI K; KONDO H; OHKUBO M; SUDA H  
PA (ARAK-I) ARAKAWA H; (BANY-C) BANYU PHARM CO LTD; (KOJI-I) KOJIRI K; (KOND-I) KONDO H; (OHKU-I) OHKUBO M; (SUDA-I) SUDA H  
CYC 1  
PIA US 6703373 B1 20040309 (200422)\* EN 17[0]  
WO 2004083228 A1 20040930 (200464) JA  
ADT US 6703373 B1 WO 1999-JP4911 19990910; US 6703373 B1 US 2002-70825 20020311; WO 2004083228 A1 WO 1999-JP4911 19990910  
PRAI US 2002-70825 20020311  
WO 1999-JP4911 19990910  
AN 2004-236611 [22] WPINDEX  
AB US 6703373 B1 UPAB: 20050906  
NOVELTY - Indolopyrrolocarbazole derivatives (I) or their salts are new.

DETAILED DESCRIPTION - Indolopyrrolocarbazole derivatives of formula (I) or their salts are new.

R = pyridyl, furyl or thienyl (all optionally substituted by hydroxyl, lower alkoxy, hydroxy lower alkyl or hydroxy lower alkenyl);

m = 1 - 3; and

G = beta-D-glucopyranosyl.

The positions of substitution of the hydroxyl groups on the indolopyrrolocarbazole ring are the 1- and 11-positions, or the 2- and 10- positions. Provided that when the pyridyl, furyl or thienyl has a lower alkoxy group as a substituent, each of which simultaneously has another substituent selected from hydroxyl, lower alkoxy, hydroxy lower alkyl or hydroxy lower alkenyl.

ACTIVITY - Cytostatic.

MECHANISM OF ACTION - Tumor cell growth inhibitor.

The tumor cell growth inhibitory efficacy of 6-(3-hydroxymethylthiophen-2-yl)methylamino-13-beta-D-glucopyranosyl-12,13-dihydro-2,10-dihydroxy-5H-indolo(2,3-a)pyrrolo(3,4-c)carbazole-5,7-dione (A) was evaluated by using mouse leukemia cells (P388). 100 microl of a medium for cell culture (RPMI-1640 medium containing 10% fetal bovine serum) containing the cells was put in a 96-well microplate, and culture was carried out at 37 degrees C for 24 hours under 5% CO<sub>2</sub>. A medium (10 microl) containing (A) was added, and culture was continued at 37 degrees C for further 24 hours under 5% CO<sub>2</sub>. 0.5% Thiazoyl Blue (10 microl) was added to the cultured medium, and incubation was made at 37 degrees C for 2 hours under 5% CO<sub>2</sub> to carry out enzymatic reaction. 20% sodium dodecyl sulfate was added to discontinue the reaction, and incubation was carried out at 37 degrees C for further 4 hours to dissolve the resulting dye, and absorbance at 550 nm was measured and compared with the control group. The IC<sub>50</sub> value of (A) was found to be 0.19 nM.

USE - For treating cancer e.g. gastric cancer, colon cancer, lung cancer or breast cancer (claimed), thyroid cancer, lung cancer, esophageal cancer, gastric cancer, hepatic cancer, pancreatic cancer, colon cancer, renal cancer, prostate cancer, testoid cancer, uterine cancer, ovarian cancer, breast cancer, brain cancer, leukemia, lymphoma and myeloma.

ADVANTAGE - The compound shows excellent antitumor activity.

L4 ANSWER 25 OF 27 WPINDEX COPYRIGHT 2007 THE THOMSON CORP on STN  
AN 2003-788242 [74] WPINDEX

DNC C2003-217658 [74]  
 TI Treatment of cancer comprises simultaneous, separate or successive administration of indolopyrrolocarbazole derivative and e.g. alkylating agents antimetabolite, antibiotic, plant derived agent, platinum compound anticancer agent.  
 DC B02  
 IN ARAKAWA H; ARAKAWA H B P C L T R I; KODERA T; KODERA T B P C L T R I; MONDEN Y; MONDEN Y B P C L B; NAKATSURU Y; NAKATSURU Y B P C L T R I  
 PA (BANY-C) BANYU PHARM CO LTD  
 CYC 99  
 PIA WO 2003080077 A1 20031002 (200374)\* JA 57[3]  
     AU 2002335472 A1 20031008 (200432) EN  
     EP 1498127 A1 20050119 (200506) EN  
     BR 2002015650 A 20050104 (200510) PT  
     NO 2004004030 A 20041216 (200520) NO  
     KR 2004097237 A 20041117 (200522) KO  
     JP 2003577903 X 20050721 (200548) JA 35  
     US 20050171036 A1 20050804 (200552) EN  
     CN 1622814 A 20050601 (200560) ZH  
     MX 2004009324 A1 20050201 (200564) ES  
     IN 2004002105 P4 20060303 (200626) EN  
     ZA 2004006716 A 20060726 (200654) EN 64  
     NZ 534914 A 20070126 (200711) EN  
 ADT WO 2003080077 A1 WO 2002-JP10186 20020930; IN 2004002105 P4 WO 2002-JP10186; AU 2002335472 A1 AU 2002-335472 20020930; BR 2002015650 A BR 2002-15650 20020930; CN 1622814 A CN 2002-828625 20020930; EP 1498127 A1 EP 2002-807108 20020930; EP 1498127 A1 WO 2002-JP10186 20020930; BR 2002015650 A WO 2002-JP10186 20020930; NO 2004004030 A WO 2002-JP10186 20020930; JP 2003577903 X WO 2002-JP10186 20020930; US 20050171036 A1 WO 2002-JP10186 20020930; MX 2004009324 A1 WO 2002-JP10186 20020930; JP 2003577903 X JP 2003-577903 20020930; ZA 2004006716 A ZA 2004-6716 20040824; IN 2004002105 P4 IN 2004-CN2105 20040921; KR 2004097237 A KR 2004-715417 20040924; MX 2004009324 A1 MX 2004-9324 20040924; NO 2004004030 A NO 2004-4030 20040924; US 20050171036 A1 US 2004-509061 20040924; NZ 534914 A NZ 2002-534914 20020930; NZ 534914 A WO 2002-JP10186 20020930  
 FDT AU 2002335472 A1 Based on WO 2003080077 A; EP 1498127 A1 Based on WO 2003080077 A; BR 2002015650 A Based on WO 2003080077 A; JP 2003577903 X Based on WO 2003080077 A; MX 2004009324 A1 Based on WO 2003080077 A; NZ 534914 A Based on WO 2003080077 A  
 PRAI JP 2002-84677 20020326  
 AN 2003-788242 [74] WPINDEX  
 AB WO 2003080077 A1 UPAB: 20060120  
 NOVELTY - Treatment of cancer comprises the simultaneous, separate or successive administration of an indolopyrrolocarbazole derivative (I) and an anticancer agent selected from alkylating agents antimetabolite, antibiotic, plant derived agent, platinum compounds, camptothecin derivatives, tyrosine kinase inhibitors, monoclonal antibodies, interferon, biologically derived materials or other agents  
 DETAILED DESCRIPTION - Treatment of cancer comprises the simultaneous, separate or successive administration of:  
     (a) an indolopyrrolocarbazole derivative of formula (I)  
 or its salt; and  
     (b) an anticancer agent selected from alkylating agents antimetabolite, antibiotic, plant derived agent, platinum compounds, camptothecin derivatives, tyrosine kinase inhibitors, monoclonal antibodies, interferon, biologically derived materials or other agents (selected from nitrogen mustard N-oxide, cyclosulfamide, isosulfasamide, melphalan, busulfan, mitobronitol, carboquone, thiotepa, lanimustine, nimustine, temozolomide, Methotrexate, 6-mercaptopurine riboside, mercaptopurine, 5-fluorouracil, tegafur, doxyfluridine, carmofur, cytarabine, cytarabine-phosphate, enocitabine, S-1, gemcitabine, fludarabine, actinomycin-D, doxorubicin, daunorubicin, neocarcinostatin,

bleomycin, peplomycin, mitomycin-C, aclarubicin, pirarubicin, epirubicin, zinostatin-stimalamer, idarubicin, vincristine, vinblastine, vindesine, etoposide, sobuzoxane, docetaxel, paclitaxel, vinorelbine, cisplatin, carboplatin, nedaplatin, oxalaplatin, camptothecin derivatives: irinotecan, topotecan, camptothecin, tyrosine kinase, iressa, SU5416, IMC-C225, RhuMab-VEGF, rituximab, interferon, interferon-alpha, interferon-alpha-2a, interferon-alpha-2b, interferon-beta, interferon-gamma-1a, interferon-gamma-n1, krestin, lentinan, sizofiran, picibanil, ubenimex, mitoxantrone, L-asparaginase, procarbazine, dacarbazine, hydroxycarbazine, pentostatin or tretinoin).

R1, R2 = H, YR3, (CH<sub>2</sub>)<sub>m</sub>R4, or Alk, lower alkenyl, lower alkynyl, aryl, aralkyl or heterocyclyl (all optionally substituted by 1-5 COOH, CONH<sub>2</sub>, sulfo, NH<sub>2</sub>, NHAlk, N(Alk)<sub>2</sub>, OH or halo);

Alk = lower alkyl;

Y = CO, CS or SO<sub>2</sub>;

R3 = H, aralkyl, OAlk, hydrazino, NQ<sub>1</sub>Q<sub>2</sub>, arylamino, CONQ<sub>1</sub>Q<sub>2</sub> or Alk, cycyl, Alk-cycyl, aryl, aralkyl or heterocyclyl all optionally substituted by 1-4, halo, optionally protected hydroxy, NH<sub>2</sub>, COOH, CONQ<sub>1</sub>Q<sub>2</sub>, CN or COOAlk;

Q<sub>1</sub>, Q<sub>2</sub> = Alk (optionally substituted by halo, OH, NH<sub>2</sub>, COOH, CONH<sub>2</sub> or COOAlk);

R4 = pyridyl, furyl or thiienyl (all optionally substituted by 1 or 2 OH, OAlk, lower hydroxyalkyl or lower hydroxyalkenyl);

m = 1-3; or

R1+R2 = lower alkylidene (optionally substituted by NH<sub>2</sub>, NHAlk, N(Alk)<sub>2</sub>, OH, COOH or sulfo) or forms other heterocyclyl (optionally substituted by Alk (optionally substituted NH<sub>2</sub>, OH, COOH or sulfo));

G = 5 or 6C sugar group; and

X<sub>1</sub>, X<sub>2</sub> = H, halo, NH<sub>2</sub>, NHAlk, N(Alk)<sub>2</sub>, OH, OAlk, aralkoxy, COOH, COOAlk or Alkaline

ACTIVITY - Cytostatic. In tests using CDF1 mice implanted with P388 cells administration of a compound of formula (Ia) at 75 mg/kg increased life span by 57%, etoposide at 7.5 mg/kg by 51% and a combination of (Ia) at 75 mg/kg an etoposide at 7.5 mg/kg by 35% a combination index of 3.33.

MECHANISM OF ACTION - None Given.

USE - For treating cancer.

ADVANTAGE - Combination is synergistic and allows improved treatment with reduced side effects.

L4 ANSWER 26 OF 27 WPINDEX COPYRIGHT 2007 THE THOMSON CORP on STN  
AN 1998-551182 [47] WPINDEX  
CR 2004-310285  
DNC C1998-165039 [47]  
TI New indolo-pyrrolo-carbazole derivatives - useful as anticancer agents  
DC B02  
IN ARAKAWA K; KONDO H; OJIRI K; OKUBO M; SUDA H  
PA (BANY-C) BANYU PHARM CO LTD  
CYC 1  
PIA JP 10245390 A 19980914 (199847)\* JA 23[0]  
JP 3536574 B2 20040614 (200439) JA 23  
ADT JP 10245390 A JP 1997-61875 19970228; JP 3536574 B2 JP 1997-61875 19970228  
FDT JP 3536574 B2 Previous Publ JP 10245390 A  
PRAI JP 1997-61875 19970228  
AN 1998-551182 [47] WPINDEX  
CR 2004-310285  
AB JP 10245390 A UPAB: 20060114  
Indolopyrrolocarbazole derivatives of formula (I) and their salts are new: R = phenyl, naphthyl, pyridyl, furyl or thiienyl (substituted by at least one OH, lower alkoxy, hydroxy lower alkyl or hydroxy lower alkenyl) and containing another substituent selected from OH, lower alkoxy, hydroxy lower alkyl or hydroxy lower alkenyl when substituted by lower alkoxy; m = 1-3; G =

beta-D-glucopyranosyl; the positions of OH groups on the indolopyrrolocarbazol ring are 1,11 or 2,10.  
USE - (I) are useful as antitumour agents (claimed).

L4 ANSWER 27 OF 27 BIOSIS COPYRIGHT (c) 2007 The Thomson Corporation on STN  
AN 2004:230185 BIOSIS  
DN PREV200400233599  
TI Indolopyrrolocarbazole derivatives and antitumor agents.  
AU Kojiri, Katsuhisa [Inventor, Reprint Author]; Kondo, Hisao [Inventor]; Arakawa, Hiroharu [Inventor]; Ohkubo, Mitsuru [Inventor]; Suda, Hiroyuki [Inventor]  
CS Tokyo, Japan  
ASSIGNEE: Banyu Pharmaceutical Co., Ltd., Tokyo, Japan  
PI US 6703373 20040309  
SO Official Gazette of the United States Patent and Trademark Office Patents, (Mar 9 2004) Vol. 1280, No. 2. <http://www.uspto.gov/web/menu/patdata.html>. e-file.  
ISSN: 0098-1133 (ISSN print).  
DT Patent  
LA English  
ED Entered STN: 28 Apr 2004  
Last Updated on STN: 28 Apr 2004  
AB A compound represented by the formula or a pharmaceutically acceptable salt thereof ##STR1## wherein R represents an unsubstituted pyridyl, furyl or thienyl group, or a pyridyl, furyl or thienyl group each of which has one or more substituents selected from the group consisting of a hydroxyl group, a lower alkoxy group, a hydroxy lower alkyl group and a hydroxy lower alkenyl group except that when the pyridyl, furyl or thienyl group has a lower alkoxy group as a substituent, each of which simultaneously has another substituent selected from the group consisting of a hydroxyl group, a lower alkoxy group, a hydroxy lower alkyl group and a hydroxy lower alkenyl group, m represents an integer of 1 to 3, and G represents a beta-D-glucopyranosyl group, and the positions of substitution of the hydroxyl groups on the indolopyrrolocarbazole ring are the 1- and 11-positions, or the 2- and 10-positions, and an antitumor agent containing it as an effective ingredient. The compounds have a better antitumor action than known compounds having a similar structure.

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CA SUBSCRIBER PRICE	ENTRY	SESSION
	-2.34	-2.34

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FILE LAST UPDATED: 22 Apr 2007 (20070422/ED)

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They are available for your review at:

<http://www.cas.org/infopolicy.html>

=> s Ohkubo Mitsuru/AU  
L5 85 OHKUBO MITSURU/AU

=> s 15 and indolopyrrolocarbazole  
47 INDOLOPYRROLOCARBAZOLE  
13 INDOLOPYRROLOCARBAZOLES  
52 INDOLOPYRROLOCARBAZOLE  
(INDOLOPYRROLOCARBAZOLE OR INDOLOPYRROLOCARBAZOLES)  
L6 12 L5 AND INDOLOPYRROLOCARBAZOLE

=> dis 16 1-12 bib abs

L6 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN  
AN 2005:260083 CAPLUS  
DN 142:336585  
TI Preparation of N-glycosylindolopyrrolocarbazole derivative with antitumor activity  
IN Yamada, Koji; Sunami, Satoshi; Hirose, Masaaki; Ohkubo, Mitsuru;  
Arakawa, Hiroharu  
PA Banyu Pharmaceutical Co., Ltd., Japan  
SO PCT Int. Appl., 79 pp.  
CODEN: PIXXD2  
DT Patent  
LA Japanese  
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2005026185	A1	20050324	WO 2004-JP14661	20040914
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004272457	A1	20050324	AU 2004-272457	20040914
CA 2538434	A1	20050324	CA 2004-2538434	20040914
EP 1666485	A1	20060607	EP 2004-773605	20040914
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
CN 1852914	A	20061025	CN 2004-80026590	20040914
US 2007042975	A1	20070222	US 2006-571861	20060314
PRAI JP 2003-322550	A	20030916		
WO 2004-JP14661	W	20040914		
OS MARPAT 142:336585				
GI				

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Novel indolopyrrolocarbazole derivs. represented by the general formula (I) [wherein A = O, NH, CH<sub>2</sub>; R<sub>1</sub> = a single bond, lower alkyl, lower alkenyl, lower alkynyl, Y<sub>1</sub>-W (wherein Y<sub>1</sub> = each (un)substituted lower alkyl, lower alkenyl, or 1,3-dioxanyl; W = a single bond, O); R<sub>2</sub> = each (un)substituted Ph, naphthyl, or an aromatic or aliphatic heterocycle which is a 5- or 6-membered ring containing at least one of nitrogen, sulfur, and oxygen; G = a pentose group or hexose group] or pharmaceutically acceptable salts thereof are prepared. Thus, 97.1 mg compound (II), 54.3 mg O-(3-tert-butyldimethylsilyloxy)methyl-4-pyridylmethylhydroxylamine, and 30 μL Et<sub>3</sub>N were dissolved in 4 mL MeOH, refluxed for 3 days, and concentrated under reduced pressure. The residue was dissolved in mixed solvent of 4 mL THF and 3 mL MeOH, treated with 1 mL 1 M Bu<sub>4</sub>NF/THF, stirred at room temperature for 1 h, treated with 1 mL M Bu<sub>4</sub>NF/THF, stirred at room temperature for 30 min and then refluxed for 30 min, and concentrated under reduced pressure, followed by purification using a Sephadex LH-20 column to give 11 mg compound (III). III showed IC<sub>50</sub> of 0.00076 μM against human colon cancer cell HCT-116.

RE.CNT 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2005:99515 CAPLUS

DN 142:177043

TI Preparation of glucopyranosyl indolopyrrolocarbazole derivatives as antitumor agents

IN Ohkubo, Mitsuru; Arakawa, Hiroharu

PA Banyu Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 29 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005010017	A1	20050203	WO 2003-JP9392	20030724
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU	2003248103	A1	20050214	AU 2003-248103	20030724
AU	2004259289	A1	20050203	AU 2004-259289	20040721
CA	2533384	A1	20050203	CA 2004-2533384	20040721
WO	2005010020	A1	20050203	WO 2004-JP10742	20040721
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,				

SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,  
 SN, TD, TG  
 EP 1652854 A1 20060503 EP 2004-771003 20040721  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK  
 CN 1826347 A 20060830 CN 2004-80021118 20040721  
 US 2006189800 A1 20060824 US 2006-565326 20060120  
 PRAI JP 2003-9392 A 20030724  
 WO 2003-JP309392 A 20030724  
 WO 2003-JP9392 A 20030724  
 WO 2004-JP10742 W 20040721  
 OS CASREACT 142:177043; MARPAT 142:177043  
 GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. I [R = unsubstituted pyridyl, furyl, thienyl; m = 1-3; G =  $\beta$ -D-glucopyranosyl; hydroxy substituents on the indolopyrrolocarbazole ring are located in the 1- and 11-positions or the 2- and 10-positions] were prepared. For instance, condensation of compound II [X = NH<sub>2</sub>] with 4-pyridinecarbaldehyde followed by hydrogenation afforded compound II [X = NHCH<sub>2</sub>(4-pyridyl)]. In cell growth inhibition assays against MKN-45 cell, the IC<sub>50</sub> value of compound II [X = NHCH<sub>2</sub>(4-pyridyl)] was 71 nM. Compds. I are claimed useful for the treatment of lung cancer.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

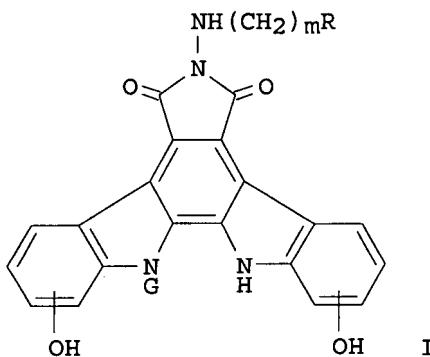
L6 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN  
 AN 2004:191117 CAPLUS  
 DN 140:236007  
 TI Preparation of indolopyrrolocarbazole derivatives having glucopyranosyl group and antitumor agents containing them  
 IN Kojiri, Katsuhisa; Kondo, Hisao; Arakawa, Hiroharu; Ohkubo, Mitsuru; Suda, Hiroyuki  
 PA Banyu Pharmaceutical Co., Ltd., Japan  
 SO U.S., 17 pp.  
 CODEN: USXXAM

DT Patent  
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6703373	B1	20040309	US 2002-70825	20020311
	WO 2004083228	A1	20040930	WO 1999-JP4911	19990910
	W: US				

PRAI WO 1999-JP4911 W 19990910  
 OS MARPAT 140:236007  
 GI



AB The derivs. I (R = Ph, naphthyl, pyridyl, furyl, thienyl, which is substituted with 1-2 OH, lower alkoxy, lower hydroxyalkyl, or lower hydroxyalkenyl; if R has a lower alkoxy, then R is also has the other substituent; m = 1-3; G =  $\beta$ -D-glucopyranosyl; 2 OH groups are on the 1- and 11- or 2- and 10-positions of the indolopyrrolocarbazole ring) or their pharmaceutically acceptable salts are prepared. The antitumor agents contain I or the salts. 2,10-I [(CH<sub>2</sub>)<sub>m</sub>R = CH<sub>2</sub>C<sub>6</sub>H<sub>3</sub>(OH)<sub>2-3,5</sub>] (preparation given) inhibited growth of human gastric cancer MX-1 cells s.c. transplanted into nude mice. The cancer treated is gastric cancer, colon cancer, lung cancer or breast cancer. Growth inhibition activity on human gastric cancer cells, human colon cancer cells and human lung cancer cells.

RE.CNT 8        THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6	ANSWER 4 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN			
AN	1998:590732 CAPLUS			
DN	129:225719			
TI	Antitumor indolopyrrolocarbazole derivatives			
IN	Kojiri, Katsuhisa; Kondo, Hisao; Arakawa, Hiroharu; Ohkubo, Mitsuru; Suda, Hiroyuki			
PA	Banyu Pharmaceutical Co., Ltd., Japan			
SO	U.S., 25 pp., Cont.-in-part of U.S. 5,591,842. CODEN: USXXAM			
DT	Patent			
LA	English			
FAN.CNT 6				
	PATENT NO.	KIND	DATE	APPLICATION NO.
PI	US 5804564	A	19980908	US 1996-737382
	PL 172609	B1	19971031	PL 1992-316369
	US 5591842	A	19970107	US 1994-255980
	CA 2190007	A1	19951116	CA 1995-2190007
	CA 2190007	C	20030415	
	CA 2413037	A1	19951116	CA 1995-2413037
	WO 9530682	A1	19951116	WO 1995-JP868
	W: AU, CA, CN, JP, KR, US			
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE			
	CN 1153518	A	19970702	CN 1995-193830
	CN 1106400	B	20030423	
	EP 1264836	A1	20021211	EP 2002-18235
	EP 1264836	B1	20041201	
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE			
PT	760375	T	20040430	PT 1995-917506
ES	2206501	T3	20040516	ES 1995-917506
CN	1513865	A	20040721	CN 2002-2002146948
AT	283863	T	20041215	AT 2002-18235
PT	1264836	T	20050228	PT 2002-18235
				19950502

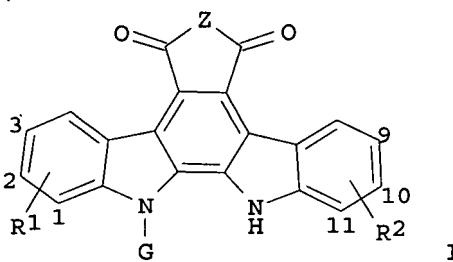
ES 2230433	T3	20050501	ES 2002-18235	19950502
US 5922860	A	19990713	US 1998-3602	19980107
PRAI JP 1994-119483	A	19940509		
JP 1994-145648	A	19940603		
US 1994-255980	A2	19940608		
WO 1995-JP868	W	19950502		
JP 1991-341916	A	19911129		
JP 1992-69269	A	19920218		
JP 1992-257306	A	19920901		
US 1992-981070	A2	19921124		
WO 1992-JP1549	W	19921127		
US 1993-68097	B2	19930528		
US 1993-166364	A2	19931214		
CA 1995-2190007	A3	19950502		
EP 1995-917506	A3	19950502		
OS MARPAT 129:225719				
GI				

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Indolopyrrolocarbazole derivs. I and II were prepared and their antitumor activity studied.

RE.CNT 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6	ANSWER 5 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN
AN	1997:293884 CAPLUS
DN	126:264313
TI	Preparation of N-glycosylindolopyrrolocarbazole derivatives as antitumor agents
IN	Kojiri, Katsuhisa; Kondo, Hisao; Arakawa, Hiroharu; Ohkubo, Mitsuru; Suda, Hiroyuki
PA	Banyu Pharmaceutical Co., Ltd., Japan
SO	PCT Int. Appl., 114 pp.
	CODEN: PIXXD2
DT	Patent
LA	Japanese
FAN.CNT 1	
	PATENT NO.                    KIND                    DATE                    APPLICATION NO.                    DATE
PI	WO 9709339                    A1                    19970313                    WO 1996-JP2404                    19960828
	W: AU, CA, CN, JP, KR, US
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
	AU 9668366                    A                    19970327                    AU 1996-68366                    19960828
PRAI	JP 1995-251855                    A                    19950905
	WO 1996-JP2404                    W                    19960828
OS	MARPAT 126:264313
GI	



AB Nucleoside analogs represented by general formula [I; Z = NNHR; wherein R = C2-4 alkyl having 1 to 3 hydroxyl group; R1, R2 = H or OH; G = pentose or hexose, provided that R1 and R2 do not represent H at the same time, and excluding the case where R1 is OH at the 1-position and R2 is OH at the 11-position when R is CH(CH<sub>2</sub>OH)<sub>2</sub>, and another case where R1 is OH at the 2-position and R2 is OH at the 10-position when R is CH(CH<sub>2</sub>OH)<sub>2</sub>], which have an excellent antitumor effect, are prepared. Thus, a dicarboxylic acid anhydride I (Z = O, R1 = 2-MeO, R2 = 10-MeO) (preparation given) was stirred with 2-hydroxyethylhydrazine in DMF at 80° for 1.5 h to give I (Z = NHCH<sub>2</sub>CH<sub>2</sub>OH, R1 = 2-MeO, R2 = 10-MeO), which at 16 mg/kg total in vivo inhibited 75% the proliferation of human stomach cancer MKN-45 cells in nude mice.

L6 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1997:49293 CAPLUS

DN 126:157762

TI Preparation of indolopyrrolocarbazole nucleoside analogs as antitumors

IN Kojiri, Katsuhisa; Kondo, Hisao; Arakawa, Hiroharu; Ohkubo, Mitsuru; Suda, Hiroyuki

PA Banyu Pharmaceutical Co., Ltd., Japan

SO U.S., 40 pp., Cont.-in-part of U.S. Ser. No. 5,437,996.

CODEN: USXXAM

DT Patent

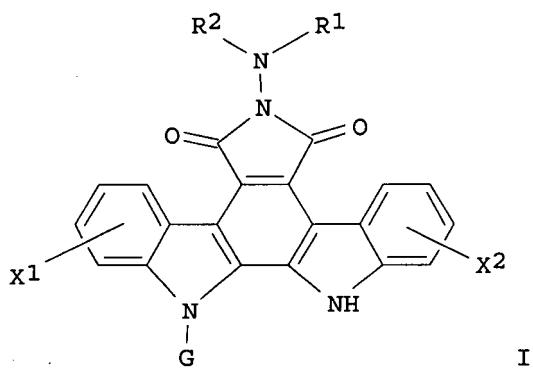
LA English

FAN.CNT 6

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5591842	A	19970107	US 1994-255980	19940608
	PL 171468	B1	19970530	PL 1992-304729	19921127
	PL 172316	B1	19970930	PL 1992-316368	19921127
	PL 172609	B1	19971031	PL 1992-316369	19921127
	RO 113469	B1	19980730	RO 1993-1067	19921127
	CZ 287304	B6	20001011	CZ 1992-3508	19921127
	CN 1073948	A	19930707	CN 1992-114888	19921128
	CN 1030987	B	19960214		
	ZA 9209263	A	19930525	ZA 1992-9263	19921209
	CN 1075482	A	19930825	CN 1993-100326	19930102
	CN 1035878	B	19970917		
	US 5437996	A	19950801	US 1993-166364	19931214
	US 5589365	A	19961231	US 1995-381286	19950131
	WO 9530682	A1	19951116	WO 1995-JP868	19950502
	W: AU, CA, CN, JP, KR, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	US 5668271	A	19970916	US 1995-474659	19950607
	US 5804564	A	19980908	US 1996-737382	19961108
PRAI	JP 1991-341916	A	19911129		
	JP 1992-69269	A	19920218		
	JP 1992-257306	A	19920901		
	US 1992-981070	A2	19921124		
	US 1993-68097	B2	19930528		
	US 1993-166364	A2	19931214		
	CS 1992-3508	A	19921127		
	WO 1992-JP1549	W	19921127		
	JP 1992-353623	A	19921214		
	JP 1993-53035	A	19930218		
	JP 1994-119483	A	19940509		
	JP 1994-145648	A	19940603		
	US 1994-255980	A2	19940608		
	WO 1995-JP868	W	19950502		

OS MARPAT 126:157762

GI



**AB** Indolopyrrocarbazole nucleoside analogs I (R1, R2 = H, alkyl, alkenyl, arom hydrocarbon, heterocycle; aminoalkyl; G = sugar; X1, X2 = H, halogen, NH<sub>2</sub>, alkoxy, alkylamino, OH) were prepared and showed excellent antitumor activity as evidenced by in vitro proliferation inhibiting activity against mouse leukemia cell, human gastric cancer cell, human lung cancer cell and human colon cancer cell. Thus, I (R1 = H, R2 = CHO; G = β-D-glucopyranosyl; X1 = X2 = OH) was prepared and tested as antitumor (dosage of 0.3-100 mg/kg/day; MST = 16.8-52.4).

**L6** ANSWER 7 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN

**AN** 1997:14323 CAPLUS

**DN** 126:144473

**TI** Synthesis of NB-506, a new anticancer agent

**AU** Ohkubo, Mitsuru; Kawamoto, Hiroshi; Ohno, Toshiyuki; Nakano, Masato; Morishima, Hajime

**CS** Banyu Tukuba Res. Inst., Tsukuba, 300-33, Japan

**SO** Tetrahedron (1997), 53(2), 585-592

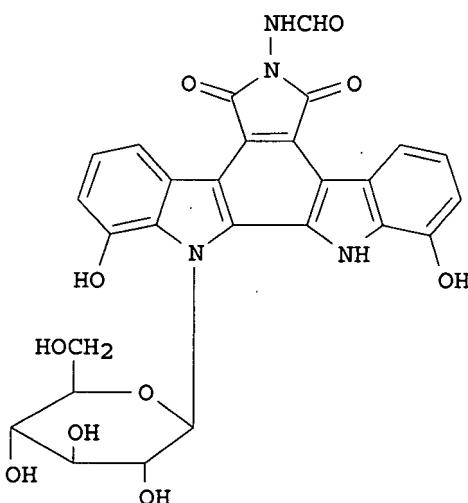
CODEN: TETRAB; ISSN: 0040-4020

**PB** Elsevier

**DT** Journal

**LA** English

**GI**

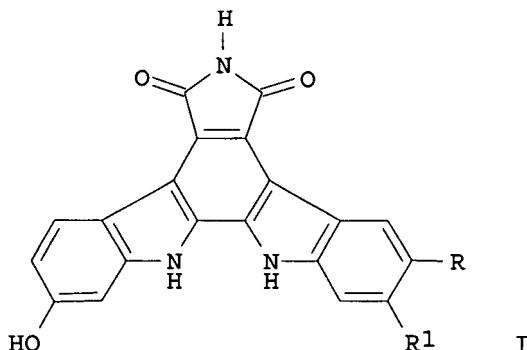


**AB** 6-N-Formylamino-12,13-dihydro-1,11-dihydroxy-13-(β-D-glucopyranosyl)-5H-indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione (NB-506, I), a

derivative of the naturally occurring antitumor compound, BE-13793C, is a new indolopyrrolocarbazole anticancer agent which potently inhibits topoisomerase I. The synthesis of NB-506 was accomplished starting from 2,3-dibromo-N-methylmaleimide and 7-benzyloxyindole. The key step, a glycosylation of indolocarbazole, was precisely studied to develop a practical synthesis method using KOH as a base.

RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

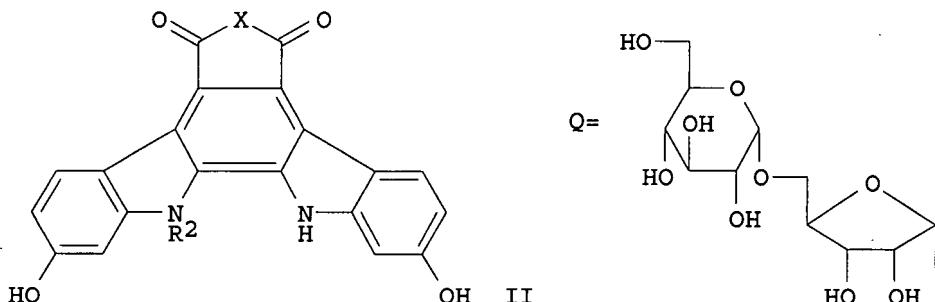
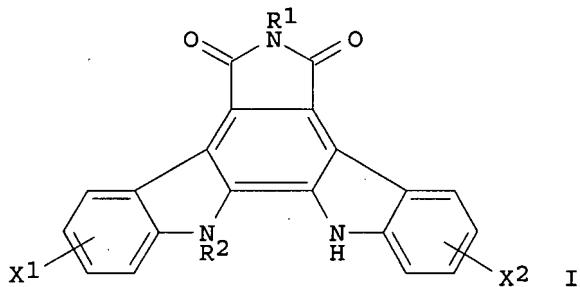
L6 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN  
 AN 1996:376438 CAPLUS  
 DN 125:114919  
 TI Practical synthesis of indolopyrrolocarbazoles  
 AU Ohkubo, Mitsuru; Nishimura, Teruyuki; Jona, Hideki; Honma,  
     Teruki; Morishima, Hajime  
 CS Banyu Tukuba Res. Inst. in collaboration with Merck Res. Lab., Tsukuba,  
     300-33, Japan  
 SO Tetrahedron (1996), 52(24), 8099-8112  
     CODEN: TETRAB; ISSN: 0040-4020  
 PB Elsevier  
 DT Journal  
 LA English  
 OS CASREACT 125:114919  
 GI



AB A practical method for the synthesis of the indolo[2,3-a]pyrrolo[3,4-c]carbazole ring system was described. The method involved two key processes: a coupling reaction between indole and substituted methylmaleimide portions using lithium hexamethyldisilazide (LiHMDS) as a base, and the oxidative cyclization of bisindolylmaleimide with palladium (II) chloride. This method was applied to the synthesis of arcyriaflavins B, C and D I (R = R1 = H; R = H, R1 = OH; R = OH, R1 = H, resp.).

L6 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN  
 AN 1996:340593 CAPLUS  
 DN 125:34036  
 TI Preparation of antitumor indolopyrrolocarbazole glycosides  
 IN Kojiri, Katsuhisa; Shimokawa, Haruki; Ohkubo, Mitsuru; Kawamura,  
     Kenji; Kondo, Hisao; Arakawa, Hiroharu; Suda, Hiroyuki  
 PA Banyu Pharmaceutical Co., Ltd., Japan  
 SO PCT Int. Appl., 58 pp.  
     CODEN: PIXXD2  
 DT Patent  
 LA Japanese  
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9604293	A1	19960215	WO 1995-JP1490	19950726
	W: AU, CA, CN, JP, KR, US RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE			
AU 9530864	A	19960304	AU 1995-30864	19950726
PRAI JP 1994-200110	A	19940802		
WO 1995-JP1490	W	19950726		
OS MARPAT 125:34036				
GI				



AB Compds. represented by general formula [I; X1, X2 = H, halo, NH2, mono(lower alkyl)amino, di(lower alkyl)amino, HO, lower alkoxy, aralkoxy, CO2H, lower alkoxy carbonyl, lower alkanoyloxy, or lower alkyl which may be substituted by one or two HO groups; R1 = H, NH2, formylamino, lower alkanoylamino, mono(lower alkyl)amino, di(lower alkyl)amino, HO, lower alkoxy, aralkoxy, aralkyl, lower alkylcarbonyl, arylcarbonyl or lower alkyl [wherein the lower alkanoylamino, mono(lower alkyl)amino, di(lower alkyl)amino, lower alkoxy, aralkoxy, aralkyl, lower alkylcarbonyl, arylcarbonyl and lower alkyl may be substituted by one to five groups selected from among CO2H, CONH2, SO3H, NH2, cyano, mono(lower alkyl)amino, di(lower alkyl)amino, HO, heterocyclic which may be substituted by one to three HO groups or by lower alkyl which may be substituted by one to three hydroxy groups, and halogen atoms]; R2 = disaccharide group] or pharmaceutically acceptable salts thereof are prepared by microbial glycosidation with *Saccharothrix aerocolonigenes* or chemical modification. Thus, glycosidation of 2,1-dibenzyl oxy-6-methylindolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7-dione with chloro-5-O-(2,3,4,6-tetra-O-benzyl- $\alpha$ -D-glucopyranosyl)-2,3-O-isopropylidene- $\alpha$ -D-ribofuranose in the presence of KOH and MgSO4 in MeCN at room temperature for 4 h followed by hydrogenolysis over Pd-C in CHCl3-MeOH under H atmospheric and treatment with a mixture of THF and 10% HCl/MeOH gave the intermediate (II; X = NMe, R2 = Q), which was stirred with 10% aqueous NaOH at room temperature for 1 h and neutralized with 2 N aqueous HCl to give the indolo[2,3-a]furano[3,4-c]carbazole II (X =

O, R<sub>2</sub> = Q) and then stirred with 2-hydrazino-1,3-propanediol in DMSO at room temperature for 3 h to give the title compound II [X = NNHCH(CH<sub>2</sub>OH)<sub>2</sub>, R<sub>2</sub> = Q]. II [X = NNHCH(CH<sub>2</sub>OH)<sub>2</sub>, R<sub>2</sub> = Q] showed IC<sub>50</sub> of 0.002, 0.036, 0.073, and 0.044 μM for inhibiting the proliferation of mouse leukemia P388, mouse colon cancer colon 26, human lung cancer PC-13, and human stomach cancer MKN-45 cells, resp.

L6 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1996:161149 CAPLUS

DN 124:202948

TI Preparation of β-(D-glucopyranosyl) indolopyrrolocarbazole derivatives as antitumor agents

IN Kojiri, Katsuhisa; Kondo, Hisao; Arakawa, Hiroharu; Ohkubo, Mitsuru; Suda, Hiroyuki

PA Japan

SO PCT Int. Appl., 64 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 6

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9530682	A1	19951116	WO 1995-JP868	19950502
	W: AU, CA, CN, JP, KR, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	PL 172609	B1	19971031	PL 1992-316369	19921127
	US 5591842	A	19970107	US 1994-255980	19940608
	CA 2190007	A1	19951116	CA 1995-2190007	19950502
	CA 2190007	C	20030415		
	CA 2413037	A1	19951116	CA 1995-2413037	19950502
	AU 9523535	A	19951129	AU 1995-23535	19950502
	AU 683749	B2	19971120		
	EP 760375	A1	19970305	EP 1995-917506	19950502
	EP 760375	B1	20031126		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	CN 1153518	A	19970702	CN 1995-193830	19950502
	CN 1106400	B	20030423		
	JP 3038921	B2	20000508	JP 1995-528838	19950502
	EP 1264836	A1	20021211	EP 2002-18235	19950502
	EP 1264836	B1	20041201		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
	AT 255121	T	20031215	AT 1995-917506	19950502
	PT 760375	T	20040430	PT 1995-917506	19950502
	ES 2206501	T3	20040516	ES 1995-917506	19950502
	CN 1513865	A	20040721	CN 2002-2002146948	19950502
	AT 283863	T	20041215	AT 2002-18235	19950502
	PT 1264836	T	20050228	PT 2002-18235	19950502
	ES 2230433	T3	20050501	ES 2002-18235	19950502
	US 5804564	A	19980908	US 1996-737382	19961108
	HK 1000890	A1	20040109	HK 1997-102485	19971217
	US 5922860	A	19990713	US 1998-3602	19980107
PRAI	JP 1994-119483	A	19940509		
	JP 1994-145648	A	19940603		
	US 1994-255980	A2	19940608		
	JP 1991-341916	A	19911129		
	JP 1992-69269	A	19920218		
	JP 1992-257306	A	19920901		
	US 1992-981070	A2	19921124		
	WO 1992-JP1549	W	19921127		
	US 1993-68097	B2	19930528		
	US 1993-166364	A2	19931214		
	CA 1995-2190007	A3	19950502		
	EP 1995-917506	A3	19950502		
	WO 1995-JP868	W	19950502		

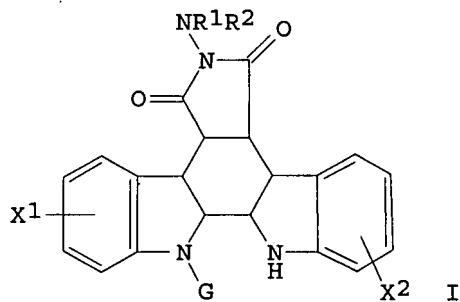
\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title compds.,  $\beta$ -D-glucopyranosyl-12,13-dihydro-5H-indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione derivs., [I; R<sub>1</sub>, R<sub>2</sub> = OH, wherein R<sub>1</sub> is present at the 1- or 2-position and R<sub>2</sub> is present at the 10- or 11-position, provided when R<sub>1</sub> is present at the 1-position, R<sub>2</sub> is present at the 11-position, while when R<sub>1</sub> is present at the 2-position, R<sub>2</sub> is present at the 10-position] or pharmaceutically acceptable salts thereof are prepared Thus, 284 g 6-benzyloxyindole was treated with 2.7 L 1 M lithium hexamethyldisilazide in THF at -10°, stirred for 45 min, treated dropwise with a solution of 2,3-dibromo-N-methylmaleimide over 1 h, and stirred at 0° for 15 min to give an indolylmaleimide derivative (II; R = H, R<sub>3</sub> = Br) (93%), which was acylated by di-tert-Bu dicarbonate in the presence of 4-dimethylaminopyridine in THF to give II (R = Boc, R<sub>3</sub> = Br) (96%). The latter compound was similarly condensed with 6-benzyloxyindole in the presence of lithium hexamethyldisilazide in THF to give the bis(indolyl)maleimide II (R = Boc, R<sub>3</sub> = Q, wherein R<sub>4</sub> = H) (62%), which was stirred with 2,3,4,6-tetra-O-benzyl-D-glucose, Ph<sub>3</sub>P, and di-Et azodicarboxylate in THF to give the glucoside II (R = Q<sub>1</sub>, R<sub>3</sub> = Q, wherein R<sub>4</sub> = Boc) (62%), followed by treatment with 40% MeNH<sub>2</sub> in MeOH at room temperature for 30 min to give II (R = Q<sub>1</sub>, R<sub>3</sub> = Q, wherein R<sub>4</sub> = H) (96%). This compound was cyclized by stirring with CuCl<sub>2</sub> and mol. sieve in MeCOEt at room temperature for 2 h to give the  $\beta$ -(D-glucopyranosyl) indolopyrrolocarbazole derivative (III; X = NMe, R<sub>6</sub> = CH<sub>2</sub>Ph), which was hydrogenolyzed over Pd black in CHCl<sub>3</sub>/MeOH under H atmospheric to give III (X = NMe, R<sub>6</sub> = H) (88%), which was stirred with 10% aqueous NaOH at room temperature for 1 h and neutralized with 2 N aqueous HCl to give III (X = O, R<sub>6</sub> = H) (100%) and then condensed with 2-hydrazino-1,3-propanediol in DMF at 80° for 1 h to give, after purification using Sephadex LH 20, the title compound III [X = NHCH(CH<sub>2</sub>OH)<sub>2</sub>, R<sub>6</sub> = H] (77%). This compound in vitro inhibited the growth of cancer cells P388, MKN-45, PC-13, and DLD-1 at 0.0020, 0.011, 0.035, and 0.10  $\mu$ M, resp. It at a total dosage of 3.0 mg/kg during 20 or 32 days depending on the treatment schedule inhibited 75% the growth of human stomach cancer MKN-45 transplanted in nude mice.

L6 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN  
AN 1993:671636 CAPLUS  
DN 119:271636  
TI Preparation of indolopyrrolocarbazole nucleosides as neoplasm inhibitors  
IN Katsuhisa, Kojiri; Hisao, Kondo; Hiroharu, Arakawa; Ohkubo, Mitsuru; Hiroyuki, Suda  
PA Banyu Pharmaceutical Co., Ltd., Japan  
SO Eur. Pat. Appl., 56 pp.  
CODEN: EPXXDW  
DT Patent  
LA English  
FAN.CNT 6

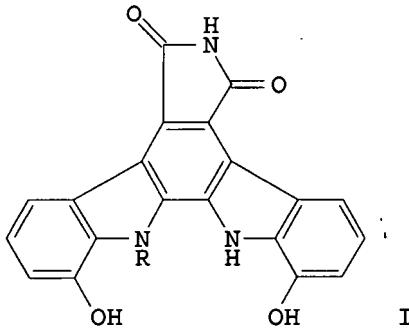
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 545195	A1	19930609	EP 1992-119904	19921123
	EP 545195	B1	19951122		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CA	2083534	A1	19930530	CA 1992-2083534	19921123
CA	2083534	C	20030128		
AT	130617	T	19951215	AT 1992-119904	19921123

ES 2079774	T3	19960116	ES 1992-119904	19921123
IL 103844	A	19970930	IL 1992-103844	19921123
JP 06128283	A	19940510	JP 1992-336560	19921124
JP 2629542	B2	19970709		
AU 9229637	A	19930603	AU 1992-29637	19921126
AU 650376	B2	19940616		
NO 9204593	A	19930601	NO 1992-4593	19921127
NO 178929	B	19960325		
NO 178929	C	19960703		
WO 9311145	A1	19930610	WO 1992-JP1549	19921127
W: BG, BR, PL, RO, RU				
HU 65699	A2	19940728	HU 1992-3754	19921127
HU 217611	B	20000328		
PL 171468	B1	19970530	PL 1992-304729	19921127
PL 172316	B1	19970930	PL 1992-316368	19921127
PL 172609	B1	19971031	PL 1992-316369	19921127
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RU 2117671	C1	19980820	RU 1993-50130	19921127
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CN 1030987	B	19960214		
ZA 9209263	A	19930525	ZA 1992-9263	19921209
CN 1075482	A	19930825	CN 1993-100326	19930102
CN 1035878	B	19970917		
US 5589365	A	19961231	US 1995-381286	19950131
PRAI	JP 1991-341916	A	19911129	
	JP 1992-69269	A	19920218	
	JP 1992-257306	A	19920901	
	US 1992-981070	A2	19921124	
	CS 1992-3508	A	19921127	
	WO 1992-JP1549	W	19921127	
	JP 1992-353623	A	19921214	
	JP 1993-53035	A	19930218	
	US 1993-68097	B1	19930528	
OS	MARPAT 119:271636			
GI				



AB Title nucleosides I (R<sub>1</sub>R<sub>2</sub> = H, alkyl, alkenyl, alkynyl, aryl, aralkyl, carboxyl, (un)substituted heterocycle or alkylidene; G = pentose, hexose; X<sub>1</sub>X<sub>2</sub> = H, halo, alkyl, alkylamino, OH, alkoxy, aralkoxy, carboxyl, alkoxy carbonyl), were prepared as neoplasm inhibitors. Thus, compds. I (R<sub>1</sub>R<sub>2</sub> = H, CHO; CHCO<sub>2</sub>H; X<sub>1</sub> = X<sub>2</sub> = OH; G = β-D-glucopyranosyl) were prepared and showed a proliferation inhibition activity ED<sub>50</sub> of 0.29 μM against mouse leukemia cell P388.

AN 1993:81274 CAPLUS  
DN 118:81274  
TI A new indolopyrrolocarbazole antitumor substance, ED-110, a derivative of BE-13793C  
AU Tanaka, Seichi; Ohkubo, Mitsuru; Kojiri, Katsuhisa; Suda, Hiroyuki; Yamada, Akihiro; Uemura, Daisuke  
CS Tsukuba Res. Inst., Banyu Pharm. Co., Ltd., Tsukuba, 300-33, Japan  
SO Journal of Antibiotics (1992), 45(11), 1797-8  
CODEN: JANTAJ; ISSN: 0021-8820  
DT Journal  
LA English  
GI



AB ED-110 (I; R =  $\beta$ -D-glucopyranosyl) was prepared from BE-13793C (I; R = H) by benzylation, benzyloxymethylation, glycosidation, and deprotection. The in vivo and in vitro antitumor activities of ED-110 are also reported.

=> d Arakawa Hiroharu/AU  
'HIROHARU' MUST END IN '/Q', '/A', '/L', '/S' OR '/B'  
The saved name for a query (or structure or screen set) must end with '/Q'. The saved name for an answer set must end with '/A'. The saved name for an L# list must end with '/L'. SDI request names must end with '/S'. To see a list of all saved query, answer set,, and L# list names for this loginid, enter "DISPLAY SAVED" at an arrow prompt (=>). Enter "DISPLAY SAVED/S" to see a list of SDI request names. Enter "DISPLAY SAVED/B" to see a list of BATCH search requests.

=> s Arakawa Hiroharu/AU

L7 39 ARAKAWA HIROHARU/AU

=> s 17 and indolopyrrolocarbazole

47 INDOLOPYRROLOCARBAZOLE  
13 INDOLOPYRROLOCARBAZOLES  
52 INDOLOPYRROLOCARBAZOLE

(INDOLOPYRROLOCARBAZOLE OR INDOLOPYRROLOCARBAZOLES)

L8 9 L7 AND INDOLOPYRROLOCARBAZOLE

=> dis 18 1-9 bib abs

L8 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2005:260083 CAPLUS

DN 142:336585

TI Preparation of N-glycosylindolopyrrolocarbazole derivative with antitumor activity

IN Yamada, Koji; Sunami, Satoshi; Hirose, Masaaki; Ohkubo, Mitsuru; Arakawa, Hiroharu

PA Banyu Pharmaceutical Co., Ltd., Japan  
 SO PCT Int. Appl., 79 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA Japanese  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005026185	A1	20050324	WO 2004-JP14661	20040914
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2004272457	A1	20050324	AU 2004-272457	20040914
	CA 2538434	A1	20050324	CA 2004-2538434	20040914
	EP 1666485	A1	20060607	EP 2004-773605	20040914
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
	CN 1852914	A	20061025	CN 2004-80026590	20040914
	US 2007042975	A1	20070222	US 2006-571861	20060314
PRAI	JP 2003-322550	A	20030916		
	WO 2004-JP14661	W	20040914		
OS	MARPAT 142:336585				
GI					

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Novel indolopyrrolocarbazole derivs. represented by the general formula (I) [wherein A = O, NH, CH<sub>2</sub>; R<sub>1</sub> = a single bond, lower alkyl, lower alkenyl, lower alkynyl, Y<sub>1</sub>-W (wherein Y<sub>1</sub> = each (un)substituted lower alkyl, lower alkenyl, or 1,3-dioxanyl; W = a single bond, O); R<sub>2</sub> = each (un)substituted Ph, naphthyl, or an aromatic or aliphatic heterocycle which is a 5- or 6-membered ring containing at least one of nitrogen, sulfur, and oxygen; G = a pentose group or hexose group] or pharmaceutically acceptable salts thereof are prepared. Thus, 97.1 mg compound (II), 54.3 mg O-(3-tert-butyldimethylsilyloxy)methyl-4-pyridylmethyl)hydroxylamine, and 30 μL Et<sub>3</sub>N were dissolved in 4 mL MeOH, refluxed for 3 days, and concentrated under reduced pressure. The residue was dissolved in mixed solvent of 4 mL THF and 3 mL MeOH, treated with 1 mL 1 M Bu<sub>4</sub>NF/THF, stirred at room temperature for 1 h, treated with 1 mL M Bu<sub>4</sub>NF/THF, stirred at room temperature for 30 min and then refluxed for 30 min, and concentrated under reduced pressure, followed by purification using a Sephadex LH-20 column to give 11 mg compound (III). III showed IC<sub>50</sub> of 0.00076 μM against human colon cancer cell HCT-116.

RE.CNT 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2005:99515 CAPLUS

DN 142:177043

TI Preparation of glucopyranosyl indolopyrrolocarbazole derivatives as antitumor agents

IN Ohkubo, Mitsuru; Arakawa, Hiroharu  
PA Banyu Pharmaceutical Co., Ltd., Japan  
SO PCT Int. Appl., 29 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005010017	A1	20050203	WO 2003-JP9392	20030724
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2003248103	A1	20050214	AU 2003-248103	20030724
	AU 2004259289	A1	20050203	AU 2004-259289	20040721
	CA 2533384	A1	20050203	CA 2004-2533384	20040721
	WO 2005010020	A1	20050203	WO 2004-JP10742	20040721
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	EP 1652854	A1	20060503	EP 2004-771003	20040721
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
	CN 1826347	A	20060830	CN 2004-80021118	20040721
	US 2006189800	A1	20060824	US 2006-565326	20060120
PRAI	JP 2003-9392	A	20030724		
	WO 2003-JP309392	A	20030724		
	WO 2003-JP9392	A	20030724		
	WO 2004-JP10742	W	20040721		
OS	CASREACT 142:177043; MARPAT 142:177043				
GI					

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. I [R = unsubstituted pyridyl, furyl, thieryl; m = 1-3; G =  $\beta$ -D-glucopyranosyl; hydroxy substituents on the indolopyrrolocarbazole ring are located in the 1- and 11-positions or the 2- and 10-positions] were prepared. For instance, condensation of compound II [X = NH<sub>2</sub>] with 4-pyridinecarbaldehyde followed by hydrogenation afforded compound II [X = NHCH<sub>2</sub>(4-pyridyl)]. In cell growth inhibition assays against MKN-45 cell, the IC<sub>50</sub> value of compound II [X = NHCH<sub>2</sub>(4-pyridyl)] was 71 nM. Compds. I are claimed useful for the treatment of lung cancer.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2004:191117 CAPLUS

DN 140:236007

TI Preparation of indolopyrrolocarbazole derivatives having glucopyranosyl group and antitumor agents containing them

IN Kojiri, Katsuhisa; Kondo, Hisao; Arakawa, Hiroharu; Ohkubo, Mitsuru; Suda, Hiroyuki

PA Banyu Pharmaceutical Co., Ltd., Japan

SO U.S., 17 pp.

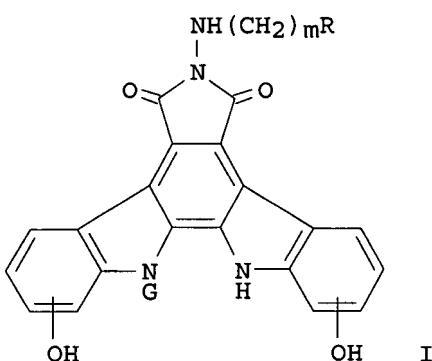
CODEN: USXXAM

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6703373	B1	20040309	US 2002-70825	20020311
	WO 2004083228	A1	20040930	WO 1999-JP4911	19990910
	W: US				
PRAI	WO 1999-JP4911	W	19990910		
OS	MARPAT	140:236007			
GI					



AB The derivs. I (R = Ph, naphthyl, pyridyl, furyl, thienyl, which is substituted with 1-2 OH, lower alkoxy, lower hydroxyalkyl, or lower hydroxyalkenyl; if R has a lower alkoxy, then R is also has the other substituent; m = 1-3; G = β-D-glucopyranosyl; 2 OH groups are on the 1- and 11- or 2- and 10-positions of the indolopyrrolocarbazole ring) or their pharmaceutically acceptable salts are prepared. The antitumor agents contain I or the salts. 2,10-I [(CH<sub>2</sub>)<sub>m</sub>R = CH<sub>2</sub>C<sub>6</sub>H<sub>3</sub>(OH)<sub>2</sub>-3,5] (preparation given) inhibited growth of human gastric cancer MX-1 cells s.c. transplanted into nude mice. The cancer treated is gastric cancer, colon cancer, lung cancer or breast cancer. Growth inhibition activity on human gastric cancer cells, human colon cancer cells and human lung cancer cells.

RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2003:777608 CAPLUS

DN 139:286323

TI Use of antitumor indolopyrrolocarbazole derivative and other anticancer agent in combination

IN Arakawa, Hiroharu; Monden, Yoshiaki; Nakatsuru, Yoko; Kodera, Tsutomu

PA Banyu Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 57 pp.

CODEN: PIXXD2

DT Patent

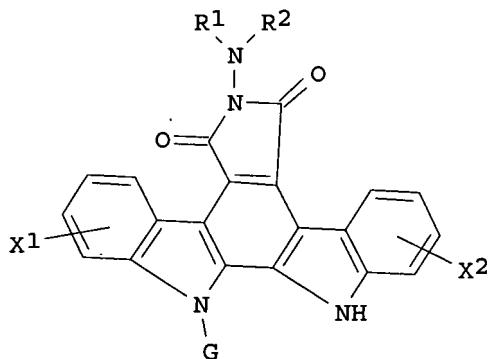
LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003080077	A1	20031002	WO 2002-JP10186	20020930
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2480335	A1	20031002	CA 2002-2480335	20020930
	AU 2002335472	A1	20031008	AU 2002-335472	20020930
	BR 2002015650	A	20050104	BR 2002-15650	20020930
	EP 1498127	A1	20050119	EP 2002-807108	20020930
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
	CN 1622814	A	20050601	CN 2002-828625	20020930
	US 2005171036	A1	20050804	US 2003-509061	20020930
	NZ 534914	A	20070126	NZ 2002-534914	20020930
	IN 2004CN02105	A	20060303	IN 2004-CN2105	20040921
	NO 2004004030	A	20041216	NO 2004-4030	20040924
PRAI	JP 2002-84677	A	20020326		
	WO 2002-JP10186	W	20020930		

OS MARPAT 139:286323

GI



AB A combination of pharmaceutical preps. which are simultaneously, sep., or successively administered in treatments for cancers. It comprises the following two sep. preps.: (1) a pharmaceutical preparation comprising a pharmaceutically acceptable support or diluent and either at least one compound represented by the general formula I (R1 and R2 each independently represents hydrogen, lower alkyl, etc.; G represents pentose group, etc.; and X1 and X2 each independently represents hydrogen, halogeno, etc.) or a pharmaceutically acceptable salt of the compound and (2) a pharmaceutical preparation comprising a pharmaceutically acceptable support or diluent and any of an anticancer alkylating agent, anticancer antimetabolite, anticancer antibiotic, plant-derived anticancer agent, and the like (the pharmaceutical preparation containing at least one compound represented by the above

formula I or a pharmaceutically acceptable salt thereof may be used in combination with two or more other anticancer agents). Also provided is a method of treatments for cancers, characterized by administering these pharmaceutical preps. in combination.

RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1998:590732 CAPLUS

DN 129:225719

TI Antitumor indolopyrrolocarbazole derivatives

IN Kojiri, Katsuhisa; Kondo, Hisao; Arakawa, Hiroharu; Ohkubo, Mitsuru; Suda, Hiroyuki

PA Banyu Pharmaceutical Co., Ltd., Japan

SO U.S., 25 pp., Cont.-in-part of U.S. 5,591,842.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 6

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5804564	A	19980908	US 1996-737382	19961108
	PL 172609	B1	19971031	PL 1992-316369	19921127
	US 5591842	A	19970107	US 1994-255980	19940608
	CA 2190007	A1	19951116	CA 1995-2190007	19950502
	CA 2190007	C	20030415		
	CA 2413037	A1	19951116	CA 1995-2413037	19950502
	WO 9530682	A1	19951116	WO 1995-JP868	19950502
	W: AU, CA, CN, JP, KR, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	CN 1153518	A	19970702	CN 1995-193830	19950502
	CN 1106400	B	20030423		
	EP 1264836	A1	20021211	EP 2002-18235	19950502
	EP 1264836	B1	20041201		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
	PT 760375	T	20040430	PT 1995-917506	19950502
	ES 2206501	T3	20040516	ES 1995-917506	19950502
	CN 1513865	A	20040721	CN 2002-2002146948	19950502
	AT 283863	T	20041215	AT 2002-18235	19950502
	PT 1264836	T	20050228	PT 2002-18235	19950502
	ES 2230433	T3	20050501	ES 2002-18235	19950502
	US 5922860	A	19990713	US 1998-3602	19980107
PRAI	JP 1994-119483	A	19940509		
	JP 1994-145648	A	19940603		
	US 1994-255980	A2	19940608		
	WO 1995-JP868	W	19950502		
	JP 1991-341916	A	19911129		
	JP 1992-69269	A	19920218		
	JP 1992-257306	A	19920901		
	US 1992-981070	A2	19921124		
	WO 1992-JP1549	W	19921127		
	US 1993-68097	B2	19930528		
	US 1993-166364	A2	19931214		
	CA 1995-2190007	A3	19950502		
	EP 1995-917506	A3	19950502		

OS MARPAT 129:225719

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\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Indolopyrrolocarbazole derivs. I and II were prepared and their

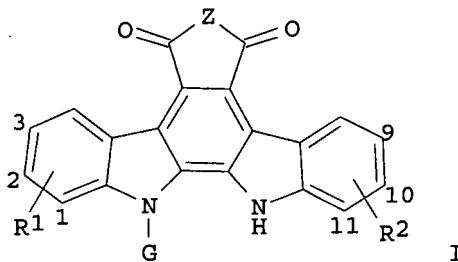
antitumor activity studied.

RE.CNT . 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN  
AN 1997:293884 CAPLUS  
DN 126:264313  
TI Preparation of N-glycosylindolopyrrolocarbazole derivatives as antitumor agents  
IN Kojiri, Katsuhisa; Kondo, Hisao; Arakawa, Hiroharu; Ohkubo, Mitsuru; Suda, Hiroyuki  
PA Banyu Pharmaceutical Co., Ltd., Japan  
SO PCT Int. Appl., 114 pp.  
CODEN: PIXXD2  
DT Patent  
LA Japanese  
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9709339	A1	19970313	WO 1996-JP2404	19960828
W: AU, CA, CN, JP, KR, US RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE AU 9668366	A	19970327	AU 1996-68366	19960828
PRAI JP 1995-251855 WO 1996-JP2404	A	19950905		
OS MARPAT 126:264313	W	19960828		

GI



AB Nucleoside analogs represented by general formula [I; Z = NNHR; wherein R = C2-4 alkyl having 1 to 3 hydroxyl group; R1, R2 = H or OH; G = pentose or hexose, provided that R1 and R2 do not represent H at the same time, and excluding the case where R1 is OH at the 1-position and R2 is OH at the 11-position when R is CH(CH<sub>2</sub>OH)<sub>2</sub>, and another case where R1 is OH at the 2-position and R2 is OH at the 10-position when R is CH(CH<sub>2</sub>OH)<sub>2</sub>], which have an excellent antitumor effect, are prepared. Thus, a dicarboxylic acid anhydride I (Z = O, R1 = 2-MeO, R2 = 10-MeO) (preparation given) was stirred with 2-hydroxyethylhydrazine in DMF at 80° for 1.5 h to give I (Z = NHCH<sub>2</sub>CH<sub>2</sub>OH, R1 = 2-MeO, R2 = 10-MeO), which at 16 mg/kg total in vivo inhibited 75% the proliferation of human stomach cancer MKN-45 cells in nude mice.

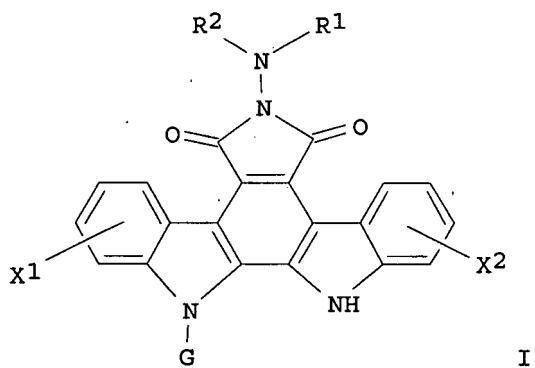
L8 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN  
AN 1997:49293 CAPLUS  
DN 126:157762  
TI Preparation of indolopyrrolocarbazole nucleoside analogs as antitumors  
IN Kojiri, Katsuhisa; Kondo, Hisao; Arakawa, Hiroharu; Ohkubo, Mitsuru; Suda, Hiroyuki  
PA Banyu Pharmaceutical Co., Ltd., Japan  
SO U.S., 40 pp., Cont.-in-part of U.S. Ser. No. 5,437,996.  
CODEN: USXXAM

DT Patent

LA English

FAN.CNT 6

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5591842	A	19970107	US 1994-255980	19940608
	PL 171468	B1	19970530	PL 1992-304729	19921127
	PL 172316	B1	19970930	PL 1992-316368	19921127
	PL 172609	B1	19971031	PL 1992-316369	19921127
	RO 113469	B1	19980730	RO 1993-1067	19921127
	CZ 287304	B6	20001011	CZ 1992-3508	19921127
	CN 1073948	A	19930707	CN 1992-114888	19921128
	CN 1030987	B	19960214		
	ZA 9209263	A	19930525	ZA 1992-9263	19921209
	CN 1075482	A	19930825	CN 1993-100326	19930102
	CN 1035878	B	19970917		
	US 5437996	A	19950801	US 1993-166364	19931214
	US 5589365	A	19961231	US 1995-381286	19950131
	WO 9530682	A1	19951116	WO 1995-JP868	19950502
	W: AU, CA, CN, JP, KR, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	US 5668271	A	19970916	US 1995-474659	19950607
	US 5804564	A	19980908	US 1996-737382	19961108
PRAI	JP 1991-341916	A	19911129		
	JP 1992-69269	A	19920218		
	JP 1992-257306	A	19920901		
	US 1992-981070	A2	19921124		
	US 1993-68097	B2	19930528		
	US 1993-166364	A2	19931214		
	CS 1992-3508	A	19921127		
	WO 1992-JP1549	W	19921127		
	JP 1992-353623	A	19921214		
	JP 1993-53035	A	19930218		
	JP 1994-119483	A	19940509		
	JP 1994-145648	A	19940603		
	US 1994-255980	A2	19940608		
	WO 1995-JP868	W	19950502		
OS	MARPAT 126:157762				
GI					



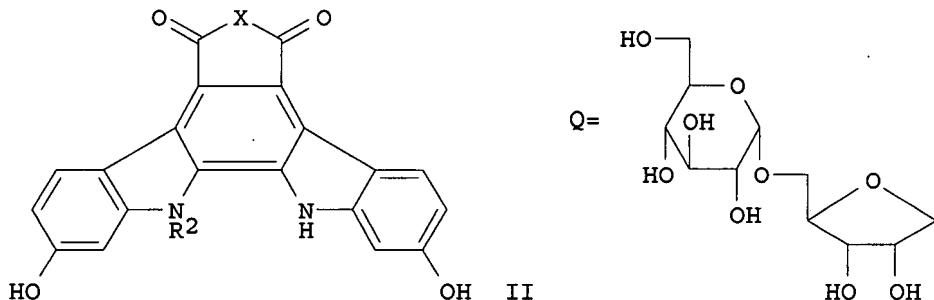
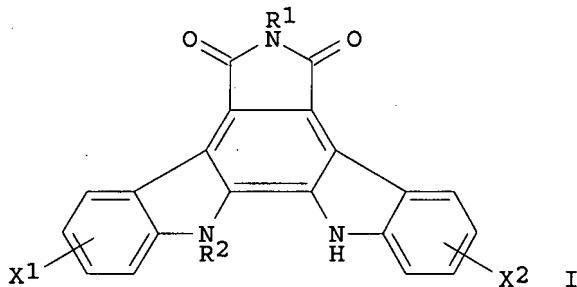
AB: Indolopyrrocarbazole nucleoside analogs I (R1, R2 = H, alkyl, alkenyl, arom hydrocarbon, heterocycle; aminoalkyl; G = sugar; X1, X2 = H, halogen, NH<sub>2</sub>, alkoxy, alkylamino, OH) were prepared and showed excellent antitumor activity as evidenced by in vitro proliferation inhibiting activity against mouse leukemia cell, human gastric cancer cell, human lung cancer

cell and human colon cancer cell. Thus, I (R1 = H, R2 = CHO; G =  $\beta$ -D-glucopyranosyl; X1 = X2 = OH) was prepared and tested as antitumor (dosage of 0.3-100 mg/kg/day; MST = 16.8-52.4).

L8 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN  
 AN 1996:340593 CAPLUS  
 DN 125:34036  
 TI Preparation of antitumor indolopyrrolocarbazole glycosides  
 IN Kojiri, Katsuhisa; Shimokawa, Haruki; Ohkubo, Mitsuru; Kawamura, Kenji;  
 Kondo, Hisao; Arakawa, Hiroharu; Suda, Hiroyuki  
 PA Banyu Pharmaceutical Co., Ltd., Japan  
 SO PCT Int. Appl., 58 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA Japanese  
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9604293	A1	19960215	WO 1995-JP1490	19950726
	W: AU, CA, CN, JP, KR, US RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE AU 9530864			
PRAI JP 1994-200110	A	19940802	AU 1995-30864	19950726
OS WO 1995-JP1490	W	19950726		

GI MARPAT 125:34036



AB Compds. represented by general formula [I; X1, X2 = H, halo, NH<sub>2</sub>, mono(lower alkyl)amino, di(lower alkyl)amino, HO, lower alkoxy, aralkoxy, CO<sub>2</sub>H, lower alkoxy carbonyl, lower alkanoyloxy, or lower alkyl which may be substituted by one or two HO groups; R1 = H, NH<sub>2</sub>, formylamino, lower alkanoylamino, mono(lower alkyl)amino, di(lower alkyl)amino, HO, lower alkoxy, aralkoxy, aralkyl, lower alkylcarbonyl, arylcarbonyl or lower alkyl [wherein the lower alkanoylamino, mono(lower alkyl)amino, di(lower alkyl)amino, lower alkoxy, aralkoxy, aralkyl, lower alkylcarbonyl,

arylcarbonyl and lower alkyl may be substituted by one to five groups selected from among CO<sub>2</sub>H, CONH<sub>2</sub>, SO<sub>3</sub>H, NH<sub>2</sub>, cyano, mono(lower alkyl)amino, di(lower alkyl)amino, HO, heterocyclic which may be substituted by one to three HO groups or by lower alkyl which may be substituted by one to three hydroxy groups, and halogen atoms]; R<sub>2</sub> = disaccharide group] or pharmaceutically acceptable salts thereof are prepared by microbial glycosidation with *Saccharothrix aerocolonigenes* or chemical modification. Thus, glycosidation of 2,1-dibenzylxyloxy-6-methylindolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7-dione with chloro-5-O-(2,3,4,6-tetra-O-benzyl- $\alpha$ -D-glucopyranosyl)-2,3-O-isopropylidene- $\alpha$ -D-ribofuranose in the presence of KOH and MgSO<sub>4</sub> in MeCN at room temperature for 4 h followed by hydrogenolysis over Pd-C in CHCl<sub>3</sub>-MeOH under H atmospheric and treatment with a mixture of THF and 10% HCl/MeOH gave the intermediate (II; X = NMe, R<sub>2</sub> = Q), which was stirred with 10% aqueous NaOH at room temperature for 1 h and neutralized with 2 N aqueous HCl to give the indolo[2,3-a]furano[3,4-c]carbazole II (X = O, R<sub>2</sub> = Q) and then stirred with 2-hydrazino-1,3-propanediol in DMSO at room temperature for 3 h to give the title compound II [X = NNHCH(CH<sub>2</sub>OH)<sub>2</sub>, R<sub>2</sub> = Q]. II [X = NNHCH(CH<sub>2</sub>OH)<sub>2</sub>, R<sub>2</sub> = Q] showed IC<sub>50</sub> of 0.002, 0.036, 0.073, and 0.044  $\mu$ M for inhibiting the proliferation of mouse leukemia P388, mouse colon cancer colon 26, human lung cancer PC-13, and human stomach cancer MKN-45 cells, resp.

L8 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN

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TI Preparation of  $\beta$ -(D-glucopyranosyl) indolopyrrolocarbazole derivatives as antitumor agents

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PA Japan

SO PCT Int. Appl., 64 pp.

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DT Patent

LA Japanese

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	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9530682	A1	19951116	WO 1995-JP868	19950502
	W: AU, CA, CN, JP, KR, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
PL	172609	B1	19971031	PL 1992-316369	19921127
US	5591842	A	19970107	US 1994-255980	19940608
CA	2190007	A1	19951116	CA 1995-2190007	19950502
CA	2190007	C	20030415		
CA	2413037	A1	19951116	CA 1995-2413037	19950502
AU	9523535	A	19951129	AU 1995-23535	19950502
AU	683749	B2	19971120		
EP	760375	A1	19970305	EP 1995-917506	19950502
EP	760375	B1	20031126		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CN	1153518	A	19970702	CN 1995-193830	19950502
CN	1106400	B	20030423		
JP	3038921	B2	20000508	JP 1995-528838	19950502
EP	1264836	A1	20021211	EP 2002-18235	19950502
EP	1264836	B1	20041201		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
AT	255121	T	20031215	AT 1995-917506	19950502
PT	760375	T	20040430	PT 1995-917506	19950502
ES	2206501	T3	20040516	ES 1995-917506	19950502
CN	1513865	A	20040721	CN 2002-2002146948	19950502
AT	283863	T	20041215	AT 2002-18235	19950502
PT	1264836	T	20050228	PT 2002-18235	19950502
ES	2230433	T3	20050501	ES 2002-18235	19950502

US 5804564	A	19980908	US 1996-737382	19961108
HK 1000890	A1	20040109	HK 1997-102485	19971217
US 5922860	A	19990713	US 1998-3602	19980107
PRAI JP 1994-119483	A	19940509		
JP 1994-145648	A	19940603		
US 1994-255980	A2	19940608		
JP 1991-341916	A	19911129		
JP 1992-69269	A	19920218		
JP 1992-257306	A	19920901		
US 1992-981070	A2	19921124		
WO 1992-JP1549	W	19921127		
US 1993-68097	B2	19930528		
US 1993-166364	A2	19931214		
CA 1995-2190007	A3	19950502		
EP 1995-917506	A3	19950502		
WO 1995-JP868	W	19950502		
OS	CASREACT 124:202948;	MARPAT 124:202948		
GI				

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title compds.,  $\beta$ -D-glucopyranosyl-12,13-dihydro-5H-indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione derivs., [I; R<sub>1</sub>, R<sub>2</sub> = OH, wherein R<sub>1</sub> is present at the 1- or 2-position and R<sub>2</sub> is present at the 10- or 11-position, provided when R<sub>1</sub> is present at the 1-position, R<sub>2</sub> is present at the 11-position, while when R<sub>1</sub> is present at the 2-position, R<sub>2</sub> is present at the 10-position] or pharmaceutically acceptable salts thereof are prepared. Thus, 284 g 6-benzyloxyindole was treated with 2.7 L 1 M lithium hexamethyldisilazide in THF at -10°, stirred for 45 min, treated dropwise with a solution of 2,3-dibromo-N-methylmaleimide over 1 h, and stirred at 0° for 15 min to give an indolylmaleimide derivative (II; R = H, R<sub>3</sub> = Br) (93%), which was acylated by di-tert-Bu dicarbonate in the presence of 4-dimethylaminopyridine in THF to give II (R = Boc, R<sub>3</sub> = Br) (96%). The latter compound was similarly condensed with 6-benzyloxyindole in the presence of lithium hexamethyldisilazide in THF to give the bis(indolyl)maleimide II (R = Boc, R<sub>3</sub> = Q, wherein R<sub>4</sub> = H) (62%), which was stirred with 2,3,4,6-tetra-O-benzyl-D-glucose, Ph<sub>3</sub>P, and di-Et azodicarboxylate in THF to give the glucoside II (R = Q<sub>1</sub>, R<sub>3</sub> = Q, wherein R<sub>4</sub> = Boc) (62%), followed by treatment with 40% MeNH<sub>2</sub> in MeOH at room temperature for 30 min to give II (R = Q<sub>1</sub>, R<sub>3</sub> = Q, wherein R<sub>4</sub> = H) (96%). This compound was cyclized by stirring with CuCl<sub>2</sub> and mol. sieve in MeCOEt at room temperature for 2 h to give the  $\beta$ -(D-glucopyranosyl)indolopyrrolocarbazole derivative (III; X = NMe, R<sub>6</sub> = CH<sub>2</sub>Ph), which was hydrogenolyzed over Pd black in CHCl<sub>3</sub>/MeOH under H atmospheric to give III (X = NMe, R<sub>6</sub> = H) (88%), which was stirred with 10% aqueous NaOH at room temperature for 1 h and neutralized with 2 N aqueous HCl to give III (X = O, R<sub>6</sub> = H) (100%) and then condensed with 2-hydrazino-1,3-propanediol in DMF at 80° for 1 h to give, after purification using Sephadex LH 20, the title compound III [X = NHCH(CH<sub>2</sub>OH)<sub>2</sub>, R<sub>6</sub> = H] (77%). This compound in vitro inhibited the growth of cancer cells P388, MKN-45, PC-13, and DLD-1 at 0.0020, 0.011, 0.035, and 0.10  $\mu$ M, resp. It at a total dosage of 3.0 mg/kg during 20 or 32 days depending on the treatment schedule inhibited 75% the growth of human stomach cancer MKN-45 transplanted in nude mice.